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(71)
BAYER AKTIENGESELLSCHAFT, D 51368, LEVERKUSEN, XX (DE).
NIHON BAYER AGROCHEM K.K., 10-8, Takanawa 4-chome Minato-ku 108, TOKYO, XX (JP).

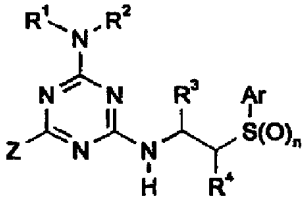
(72)
LEHR, STEFAN (DE).
KIRSTEN, ROLF (DE).
RIEBEL, HANS-JOCHEM (DE).
KATHER, KRISTIAN (DE).
VOIGT, KATHARINA (DE).
DREWES, MARK WILHELM (DE).
WETCHOLOWSKY, INGO (BR).
WATANABE, YUKIYOSHI (JP).
MYERS, RANDY ALLEN (DE).
GOTO, TOSHIO (JP).
DOLLINGER, MARKUS (US).

(74)
FETHERSTONHAUGH & CO.

(54) 2-[(2-ARYLTHIOETHYL)AMINO]-4-AMINO-1,3,5-TRIAZINE UTILISEE COMME HERBICIDE
(54) 2-[(2-ARYLTHIOETHYL)AMINO]-4-AMINO-1,3,5-TRIAZINES USED AS HERBICIDES

(57)

The invention relates to novel substituted 2,4-diamino-1,3,5-triazines of formula (I) in which n represents 0, 1 or 2, R1 represents H or C1-C6-alkyl for example, R2 represents H, formyl, C1-C6-alkyl, C1-C6-alkyl carbonyl or C1- C6-alkoxy carbonyl for example, R3 represents C1-C6-alkyl or C3-C6-cycloalkyl for example, R4 represents H or C1-C4-alkyl for example, Ar represents phenyl, naphthyl or heterocyclyl for example and Z represents H, C1-C6-alkyl, C1-C6- alkyl carbonyl, C1-C6 alkoxycarbonyl, C2-C6-alkenyl, C2-C6-alkinyl or C3-C6- cycloalkyl. The invention also relates to a method and to novel biguanide intermediate products, the production and use thereof.



(I)

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(12) (19) (CA) **Demande-Application**

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(72) KIRSTEN, ROLF, DE
(72) RIEBEL, HANS-JOCHEM, DE
(72) LEHR, STEFAN, DE
(72) VOIGT, KATHARINA, DE
(72) KATHER, KRISTIAN, DE
(72) DOLLINGER, MARKUS, US
(72) DREWES, MARK WILHELM, DE
(72) WETCHOLOWSKY, INGO, BR
(72) WATANABE, YUKIYOSHI, JP
(72) GOTO, TOSHIO, JP
(72) MYERS, RANDY ALLEN, DE
(71) BAYER AKTIENGESELLSCHAFT, DE
(71) NIHON BAYER AGROCHEM K.K., JP

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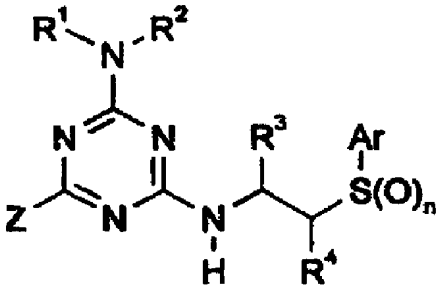
(54) **2-[(2-ARYLTHIOETHYL)AMINO]-4-AMINO-1,3,5-TRIAZINE UTILISEE COMME HERBICIDE**

(54) **2-[(2-ARYLTHIOETHYL)AMINO]-4-AMINO-1,3,5-TRIAZINES USED AS HERBICIDES**



(I)

(57) L'invention concerne une nouvelle 2,4-diamino-1,3,5-triazine de la formule (I) où n vaut 0, 1 ou 2; R^1 représente, par exemple, H ou alkyle C_1-C_6; R^2 représente, par exemple, H, formyle, alkyle C_1-C_6, alkylcarbonyle C_1-C_6 ou alcoxycarbonyle, R^3 représente, par exemple, alkyle C_1-C_6 ou cycloalkyle C_3-C_6, R^4 représente, par exemple, H ou alkyle C_1-C_4; Ar représente, par exemple, phényle, naphthyle ou hétérocyclyle et Z représente, par exemple, alkyle C_1-C_6,

(57) The invention relates to novel substituted 2,4-diamino-1,3,5-triazines of formula (I) in which n represents 0, 1 or 2, R^1 represents H or C_1-C_6-alkyl for example, R^2 represents H, formyl, C_1-C_6-alkyl, C_1-C_6-alkyl carbonyl or C_1-C_6-alkoxy carbonyl for example, R^3 represents C_1-C_6-alkyl or C_3-C_6-cycloalkyl for example, R^4 represents H or C_1-C_4-alkyl for example, Ar represents phenyl, naphthyl or heterocyclyl for example and Z represents H, C_1-C_6-alkyl, C_1-C_6-alkyl carbonyl,

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alkylcarbonyle C_1-C_6, alcoxycarbonyle C_1-C_6, alcényle C_2-C_6, alkinyle C_2-C_6 ou cycloalkyle C_3-C_6. L'invention concerne également un procédé et de nouveaux produits intermédiaires de biguanide utilisés pour leur production ainsi que leur utilisation comme herbicides.

C_1-C_6 alkoxycarbonyl, C_2-C_6-alkenyl, C_2-C_6-alkinyl or C_3-C_6-cycloalkyl. The invention also relates to a method and to novel biguanide intermediate products, the production and use thereof.

PCT WELTORGANISATION FÜR GEISTIGES EIGENTUM
Internationales Büro

INTERNATIONALE ANMELDUNG VERÖFFENTLICHT NACH DEM VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT AUF DEM GEBIET DES PATENTWESENS (PCT)

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(71) Anmelder *(für alle Bestimmungsstaaten ausser US)*: BAYER AKTIENGESELLSCHAFT [DE/DE]; D–51368 Leverkusen (DE). NIHON BAYER AGROCHEM K.K. [JP/JP]; 10–8, Takanawa 4–chome, Minato–ku, Tokyo 108 (JP).

(72) Erfinder; und

(75) Erfinder/Anmelder *(nur für US)*: KIRSTEN, Rolf [DE/DE]; Carl–Langhans–Strasse 27, D–40789 Monheim (DE). RIEBEL, Hans–Jochem [DE/DE]; In der Beek 92, D–42113 Wuppertal (DE). LEHR, Stefan [DE/DE]; Am Benthal 54, D–51381 Leverkusen (DE). VOIGT, Katharina [DE/DE]; Grossheidstrasse 121, D–52080 Aachen (DE). KATHER, Kristian [DE/DE]; Grabenstrasse 21, D–40789 Monheim (DE). DOLLINGER, Markus [DE/US]; 13210 Knox, Overland Park, KS 66213 (US). DREWES, Mark, Wilhelm [DE/DE]; Goethestrasse 38, D–40764 Langenfeld (DE). WETCHOLOWSKY, Ingo [DE/BR]; Cond. Estancia Marambaia, Rua Avare, 500, CEP–13280–000 Vinhedo, SP (BR). WATANABE, Yukiyoshi [JP/JP]; 2–8–24, Hanagaki–cho, Oyama–shi, Tochigi 323 (JP). GOTO, Toshio [JP/JP]; 214–18, Koganei, Kokubunji, Shimotsuga–gun, Tochigi 329–04 (JP). MYERS, Randy, Allen [US/DE]; Heltorfer Mark 47, D–40489 Düsseldorf (DE).

(74) Gemeinsamer Vertreter: BAYER AKTIENGESELLSCHAFT; D–51368 Leverkusen (DE).

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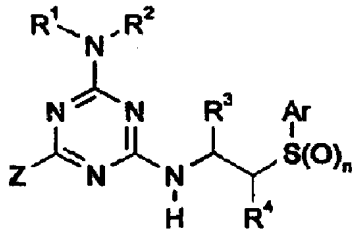
(54) Title: 2–[(2–ARYLTHIOETHYL)AMINO]–4–AMINO–1,3,5–TRIAZINES USED AS HERBICIDES

(54) Bezeichnung: 2–[(2–ARYLTHIOETHYL)AMINO]–4–AMINO–1,3,5–TRIAZINE ALS HERBIZIDE

(57) Abstract

The invention relates to novel substituted 2,4–diamino–1,3,5–triazines of formula (I) in which n represents 0, 1 or 2, R^1 represents H or C_1–C_6–alkyl for example, R^2 represents H, formyl, C_1–C_6–alkyl, C_1–C_6–alkyl carbonyl or C_1–C_6–alkoxy carbonyl for example, R^3 represents C_1–C_6–alkyl or C_3–C_6–cycloalkyl for example,



(I)

R^4 represents H or C_1–C_4–alkyl for example, Ar represents phenyl, naphthyl or heterocyclyl for example and Z represents H, C_1–C_6–alkyl, C_1–C_6–alkyl carbonyl, C_1–C_6 alkoxycarbonyl, C_2–C_6–alkenyl, C_2–C_6–alkinyl or C_3–C_6–cycloalkyl. The invention also relates to a method and to novel biguanide intermediate products, the production and use thereof.

(57) Zusammenfassung

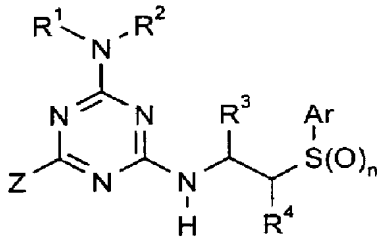
Die Erfindung betrifft neue substituierte 2,4–Diamino–1,3,5–triazine der Formel (I), in welcher n für die Zahlen 0, 1 oder 2 steht; R^1 z.B. für H oder C_1–C_6–Alkyl steht; R^2 z.B. für H, Formyl, C_1–C_6–Alkyl, C_1–C_6–Alkylcarbonyl oder C_1–C_6–Alkoxycarbonyl steht; R^3 z.B. für C_1–C_6–Alkyl oder C_3–C_6–Cycloalkyl steht; R^4 z.B. für H oder C_1–C_4–Alkyl steht; Ar z.B. für Phenyl, Naphthyl oder Heterocyclyl steht und Z z.B. für H, C_1–C_6–Alkyl, C_1–C_6–Alkylcarbonyl, C_1–C_6–Alkoxycarbonyl, C_2–C_6–Alkenyl, C_2–C_6–Alkinyl oder C_3–C_6–Cycloalkyl steht. Ein Verfahren und neue Biguanid–Zwischenprodukte zu ihrer Herstellung und ihre Verwendung als Herbizide.

Substituted 2,4-diamino-1,3,5-triazines

The invention relates to novel substituted 2,4-diamino-1,3,5-triazines, to processes and novel intermediates for their preparation and to their use as herbicides.

A number of substituted aryloxyalkylaminotriazines (cf. EP 273 328, EP 411 153 / WO 90/09378) and arylthioalkylaminotriazines (cf. EP 273 328, DE 19 522 137) have already been disclosed in the (patent) literature. However, these compounds have hitherto not attained any particular importance.

This invention, accordingly, provides the novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I)



(I)

in which

n represents the numbers 0, 1 or 2,

R^1 represents hydrogen or represents optionally hydroxyl-, cyano-, halogen- or C_1-C_4-alkoxy-substituted alkyl having 1 to 6 carbon atoms,

R^2 represents hydrogen, represents formyl or represents in each case optionally cyano-, halogen- or C_1-C_4-alkoxy-substituted alkyl, alkylcarbonyl or alkoxycarbonyl having in each case 1 to 6 carbon atoms in the alkyl groups,

R^3 represents hydrogen or represents optionally hydroxyl-, cyano-, halogen- or C_1-C_4-alkoxy-substituted alkyl having 1 to 6 carbon atoms or represents optionally cyano-, halogen- or C_1-C_4-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

R^4 represents hydrogen or represents alkyl having 1 to 4 carbon atoms,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl groupings are preferably selected from the group below:

furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, imidazolyl, tetrazolyl, pyridinyl, quinolinyl and pyrimidinyl,

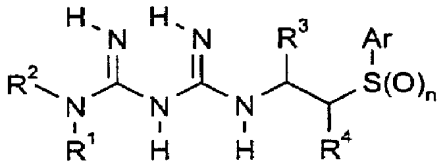
and where the possible substituents are in each case preferably selected from the group below:

hydroxyl, cyano, carbamoyl, thiocarbamoyl, nitro, halogen, in each case optionally hydroxyl-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulfinyl, alkylsulfonyl, dialkylamino, alkylcarbonylamino, alkylsulfonylamino, bis-alkylcarbonyl-amino, bis-alkylsulfonyl-amino, N-alkyl-N-alkylcarbonyl-amino or N-alkyl-N-alkylsulfonyl-amino having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C_1-C_4-alkyl-, C_1-C_4-halogenoalkyl-, C_1-C_4-alkoxy- or C_1-C_4-halogenoalkoxy-substituted phenyl or phenoxy, and in each case optionally halogen-substituted methylenedioxy or ethylenedioxy,

and

Z represents hydrogen, represents in each case optionally hydroxyl-, cyano-, halogen-, C_1-C_4-alkoxy-, C_1-C_4-alkyl-carbonyl-, C_1-C_4-alkoxy-carbonyl-, C_1-C_4-alkylthio-, C_1-C_4-alkylsulfinyl- or C_1-C_4-alkylsulfonyl-substituted alkyl, alkylcarbonyl or alkoxycarbonyl having in each case 1 to 6 carbon atoms in the alkyl groups, represents in each case optionally cyano-, halogen- or C_1-C_4-alkoxy-substituted alkenyl or alkinyl having in each case 2 to 6 carbon atoms, or represents optionally cyano-, halogen- or C_1-C_4-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms.

The novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I) are obtained when biguanides of the general formula (II)



(II)

in which

n, R^1, R^2, R^3, R^4 and Ar are as defined above

- and/or acid adducts of compounds of the general formula (II) -

are reacted with alkoxycarbonyl compounds of the general formula (III)

Z-CO-OR' (III)

in which

Z is as defined above and

R' represents alkyl,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

and, if appropriate, further conversions within the scope of the definition of substituents are carried out by customary methods on the resulting compounds of the general formula (I).

The compounds of the general formula (I) can be converted by customary methods into other compounds of the general formula (I) according to the above definition of substituents, for example by reacting compounds of the formula (I) in which R^2 represents hydrogen with acylating agents, such as, for example, acetyl chloride, acetic anhydride, propionyl chloride or methyl chloroformate (R^2 for example H → $COCH_3$), or with oxidizing agents, such as, for example, hydrogen peroxide or m-chloro-perbenzoic acid (n for example 0 → 1 or 2) – cf. the Preparation Examples. The novel substituted 2,4-diamino-1,3,5-triazines of the general formula (I) have strong and selective herbicidal activity.

The compounds of the general formula (I) according to the invention contain at least one asymmetrically substituted carbon atom and can thus be present in different enantiomeric (R- and S-configured forms) or diastereomeric forms. The invention relates both to the different possible individual enantiomeric or stereoisomeric forms of the compounds of the general formula (I) and to the mixtures of these isomeric compounds.

In the definitions, the hydrocarbon chains, such as in alkyl, are in each case straight-chain or branched – including in combination with heteroatoms, such as in alkoxy or alkylthio.

Halogen generally represents fluorine, chlorine, bromine or iodine, preferably fluorine, chlorine or bromine, in particular fluorine or chlorine.

The invention preferably provides compounds of the formula (I) in which

n represents the numbers 0, 1 or 2,

R^1 represents hydrogen or represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl,

R^2 represents hydrogen, represents formyl or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

R^3 represents hydrogen or represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,

R^4 represents hydrogen, methyl or ethyl,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl groupings are preferably selected from the group below:

furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, pyrazolyl, imidazolyl, tetrazolyl, pyridinyl, quinolinyl and pyrimidinyl,

and where the possible substituents are in each case preferably selected from the group below:

hydroxyl, cyano, carbamoyl, thiocarbamoyl, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl-, cyano-, fluorine-, chlorine- or bromine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, in each case optionally fluorine- and/or chlorine-substituted acetyl, propionyl, n-or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylthio, ethylthio, n- or i-propylthio, methylsulfinyl, ethylsulfinyl, n- or i-propylsulfinyl, methylsulfonyl, ethylsulfonyl, n- or i-propylsulfonyl, dimethylamino, diethylamino, acetylamino, propionylamino, n- or i-butyroylamino, methylsulfonylamino, ethylsulfonylamino, n- or i-propylsulfonylamino, bis-acetyl-amino, bis-propionyl-amino, bis-methylsulfonyl-amino, bis-ethylsulfonyl-amino, N-methyl-N-acetyl-amino, N-ethyl-N-acetyl-amino, N-methyl-n-propionyl-amino, N-methyl-N-methylsulfonyl-amino, N-ethyl-N-methylsulfonyl-amino or N-methyl-N-ethylsulfonyl-amino, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl, methoxy-, ethoxy-, n- or i-propoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and in each case optionally fluorine- and/or chlorine-substituted methylenedioxy or ethylenedioxy,

and

Z represents hydrogen, represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, bromine-, methoxy-, ethoxy-, n- or i-propoxy-, acetyl-, propionyl-, n- or i-butyroyl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxy-carbonyl-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulfinyl-, ethylsulfinyl-, n- or i-propylsulfinyl-, methylsulfonyl-, ethylsulfonyl-, n- or i-propylsulfonyl-substituted methyl, ethyl, n- or i-propyl, n-,

i-, s- or t-butyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methoxy- or ethoxy-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

The invention relates in particular to compounds of the formula (I) in which

n represents the numbers 0, 1 or 2,

R^1 represents hydrogen,

R^2 represents hydrogen, represents formyl or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

R^3 represents methyl, ethyl, n- or i-propyl,

R^4 represents hydrogen,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl groupings are preferably selected from the following group below:

furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, tetrazolyl, pyridinyl, quinolinyl and pyrimidinyl,

and where the possible substituents are in each case in particular selected from the group below:

cyano, carbamoyl, thiocarbamoyl, nitro, fluorine, chlorine, bromine, in each case optionally cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, in each case optionally fluorine- and/or chlorine-substituted acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylthio, ethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, dimethylamino, acetylamino, propionylamino, methylsulfonylamino or ethylsulfonylamino, in each case optionally cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, trifluoromethyl-, methoxy-, ethoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and in each case optionally fluorine- and/or chlorine-substituted methylenedioxy or ethylenedioxy,

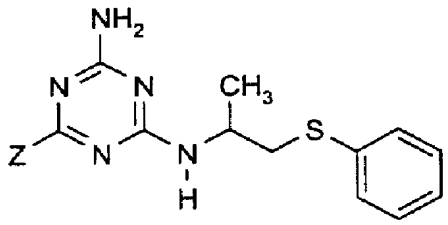
and

Z represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, bromine-, methoxy-, ethoxy-, acetyl-, propionyl-, methoxycarbonyl-, ethoxycarbonyl-, methylthio-, ethylthio-, methylsulfinyl-, ethylsulfinyl-, methylsulfonyl- or ethylsulfonyl-substituted methyl, ethyl, n- or i-propyl.

The abovementioned general or preferred radical definitions apply both to the end products of the formula (I) and correspondingly to the starting materials or intermediates required in each case for the preparation. These radical definitions can be combined with one another as desired, i.e. including combinations between the given preferred ranges.

Examples of the compounds of the general formula (I) according to the invention are listed in the groups below.

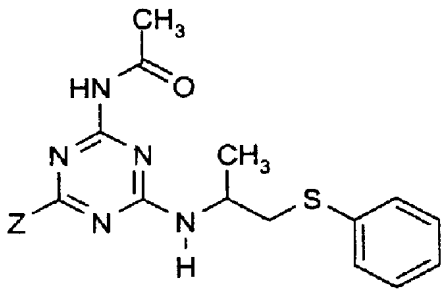
Group 1



Here, Z has, for example, the meanings given below:

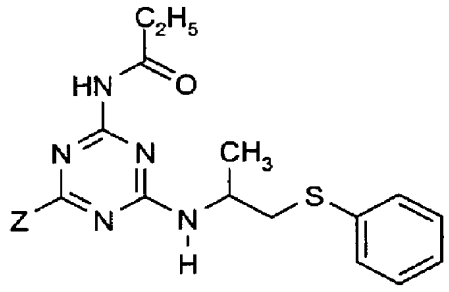
methyl, ethyl, n- or i-propyl, fluoromethyl, difluoromethyl, trifluoromethyl, chloromethyl, dichloromethyl, chlorofluoromethyl, chlorobromomethyl, chlorodifluoromethyl, fluorodichloromethyl, bromodifluoromethyl, trichloromethyl, 1-fluoro-ethyl, 2-fluoro-ethyl, 1-chloro-ethyl, 2-chloro-ethyl, 1-bromo-ethyl, 1-chloro-1-fluoro-ethyl, 1-fluoro-propyl, 2-fluoro-propyl, 3-fluoro-propyl, 1-chloro-propyl, 2-chloro-propyl, 3-chloro-propyl, 1-bromo-propyl, 1-fluoro-1-methyl-ethyl, 2-fluoro-1-methyl-ethyl, 1-chloro-1-methyl-ethyl, 2-chloro-1-methyl-ethyl, 1,1-difluoro-ethyl, 1,2-difluoro-ethyl, 1,1-dichloro-ethyl, 2,2,2-trifluoro-ethyl, 1,2,2,2-tetrafluoro-ethyl, perfluoro-ethyl, 1,1-difluoro-propyl, 1,1-dichloro-propyl, perfluoropropyl, 1-hydroxy-ethyl, 1-hydroxy-1-methyl-ethyl, 1-hydroxy-propyl, methoxymethyl, ethoxymethyl, dimethoxy-methyl, 1-methoxyethyl, 2-methoxy-ethyl, 1,1-dimethoxy-ethyl, 1-ethoxy-ethyl, 2-ethoxy-ethyl, 2,2-dimethoxy-ethyl, 2,2-diethoxy-ethyl, 2-methoxy-1-methyl-ethyl, 2-ethoxy-1-methyl-ethyl, 2,2-bis-methoxy-methyl, methylthiomethyl, ethylthiomethyl, 1-methylthio-ethyl, 2-methylthioethyl, 1-ethylthio-ethyl, 2-ethylthioethyl, methylsulfinylmethyl, ethylsulfinylmethyl, methylsulfonylmethyl, ethylsulfonylmethyl.

Group 2



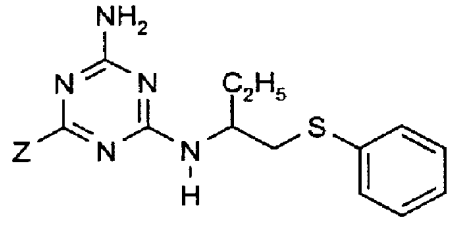
Here, Z has, for example, the meanings given above in Group 1.

Group 3



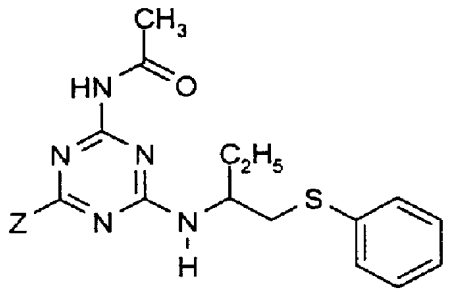
Here, Z has, for example, the meanings given above in Group 1.

Group 4



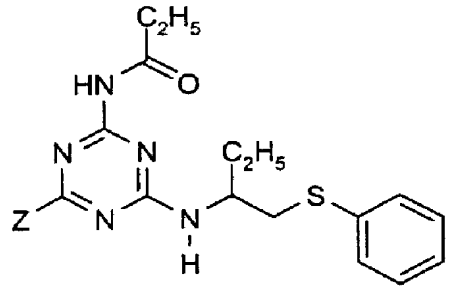
Here, Z has, for example, the meanings given above in Group 1.

Group 5



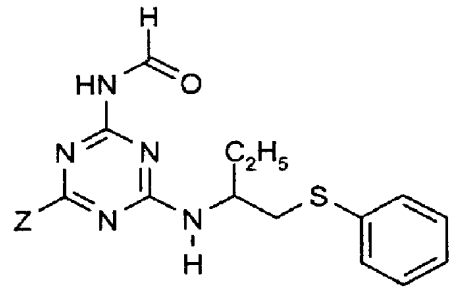
Here, Z has, for example, the meanings given above in Group 1.

Group 6



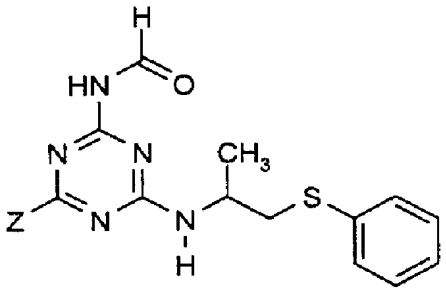
Here, Z has, for example, the meanings given above in Group 1.

Group 7



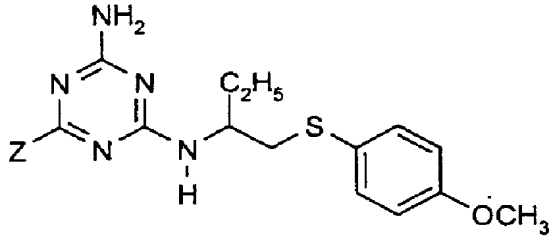
Here, Z has, for example, the meanings given above in Group 1.

Group 8



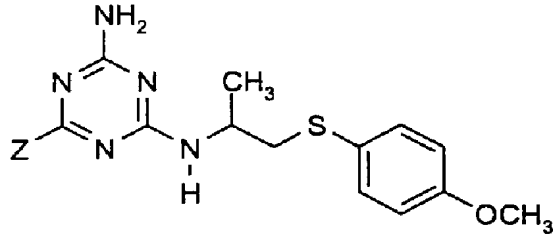
Here, Z has, for example, the meanings given above in Group 1.

Group 9



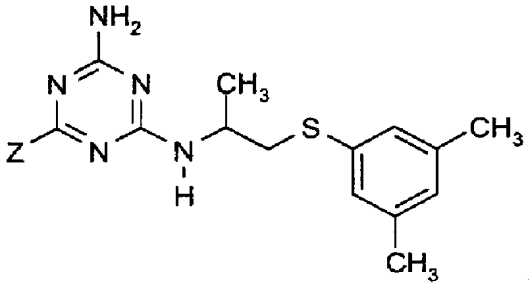
Here, Z has, for example, the meanings given above in Group 1.

Group 10



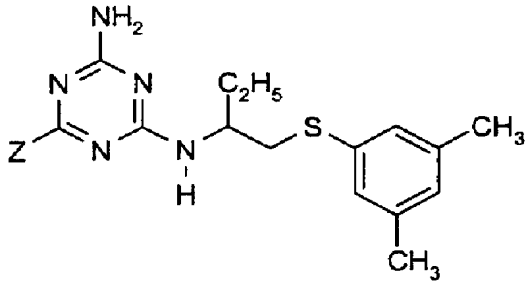
Here, Z has, for example, the meanings given above in Group 1.

Group 11



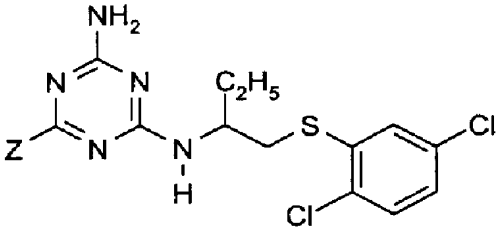
Here, Z has, for example, the meanings given above in Group 1.

Group 12



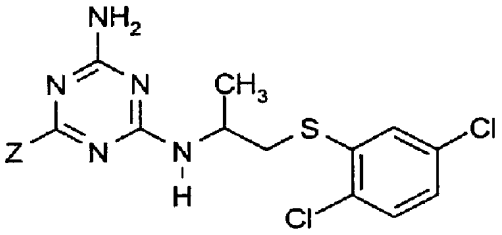
Here, Z has, for example, the meanings given above in Group 1.

Group 13



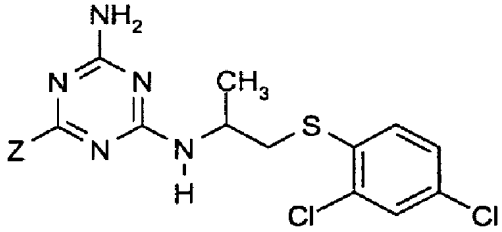
Here, Z has, for example, the meanings given above in Group 1.

Group 14



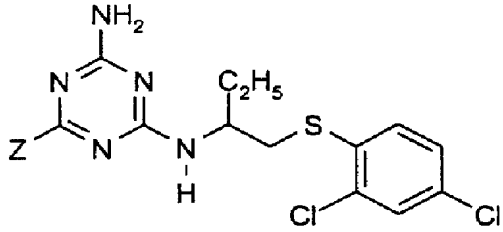
Here, Z has, for example, the meanings given above in Group 1.

Group 15



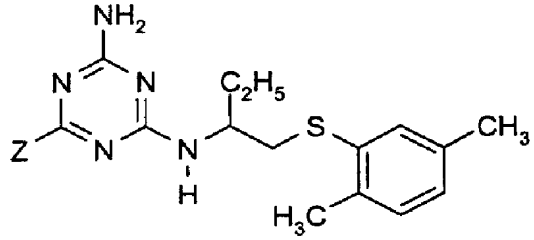
Here, Z has, for example, the meanings given above in Group 1.

Group 16



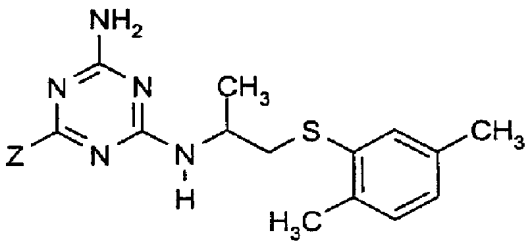
Here, Z has, for example, the meanings given above in Group 1.

Group 17



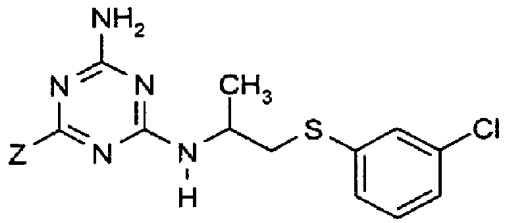
Here, Z has, for example, the meanings given above in Group 1.

Group 18



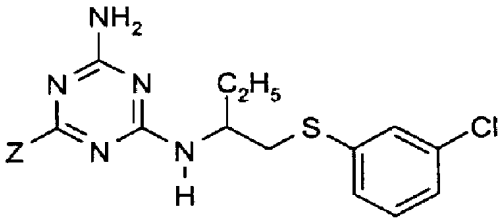
Here, Z has, for example, the meanings given above in Group 1.

Group 19



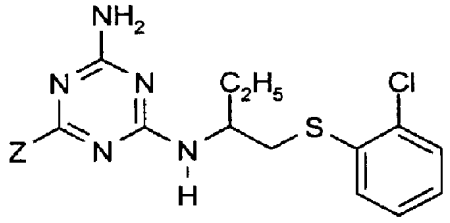
Here, Z has, for example, the meanings given above in Group 1.

Group 20



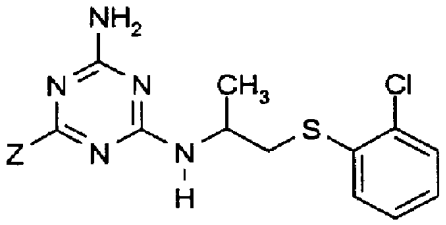
Here, Z has, for example, the meanings given above in Group 1.

Group 21



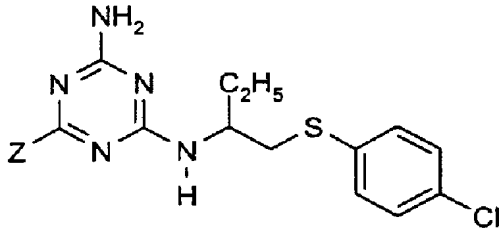
Here, Z has, for example, the meanings given above in Group 1.

Group 22



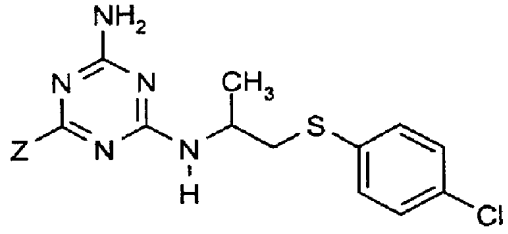
Here, Z has, for example, the meanings given above in Group 1.

Group 23



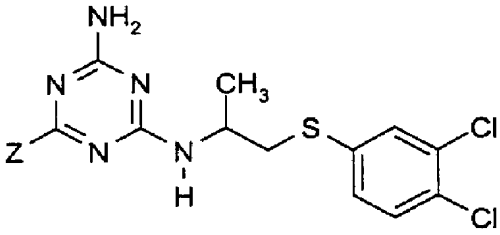
Here, Z has, for example, the meanings given above in Group 1.

Group 24



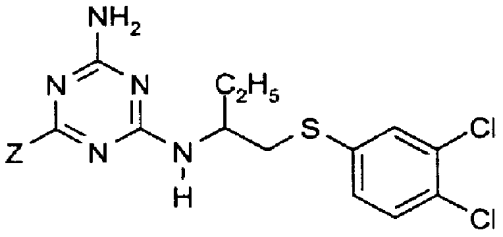
Here, Z has, for example, the meanings given above in Group 1.

Group 25



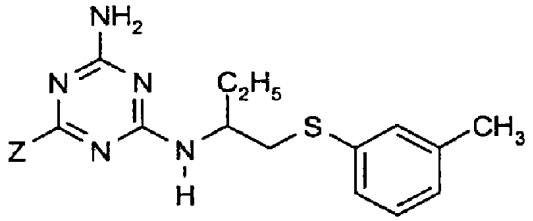
Here, Z has, for example, the meanings given above in Group 1.

Group 26



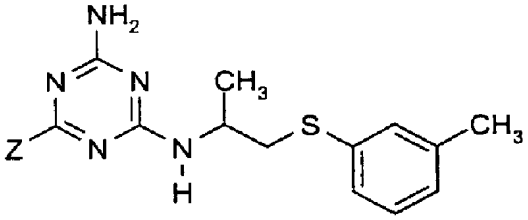
Here, Z has, for example, the meanings given above in Group 1.

Group 27



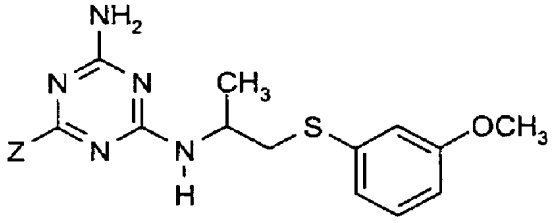
Here, Z has, for example, the meanings given above in Group 1.

Group 28



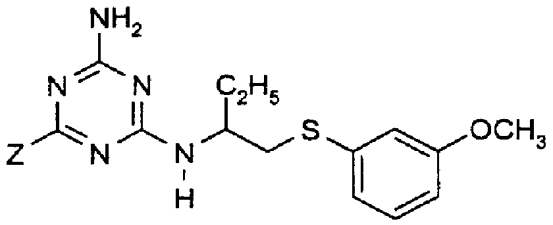
Here, Z has, for example, the meanings given above in Group 1.

Group 29



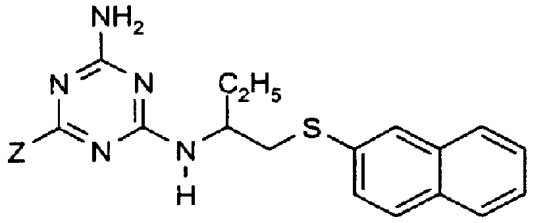
Here, Z has, for example, the meanings given above in Group 1.

Group 30



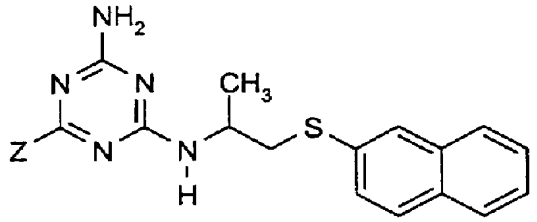
Here, Z has, for example, the meanings given above in Group 1.

Group 31



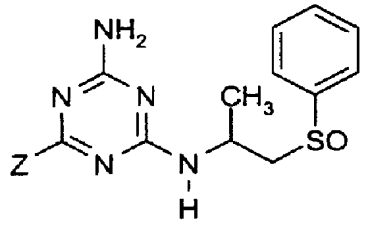
Here, Z has, for example, the meanings given above in Group 1.

Group 32



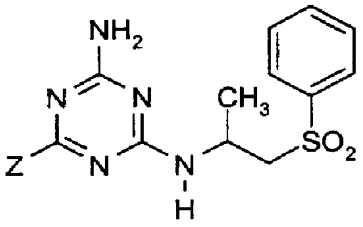
Here, Z has, for example, the meanings given above in Group 1.

Group 33



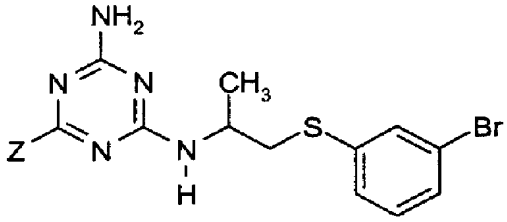
Here, Z has, for example, the meanings given above in Group 1.

Group 34



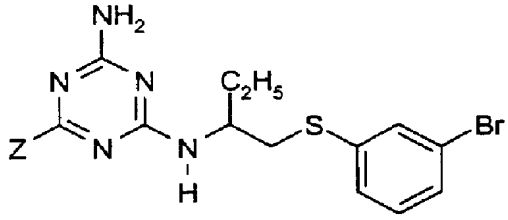
Here, Z has, for example, the meanings given above in Group 1.

Group 35



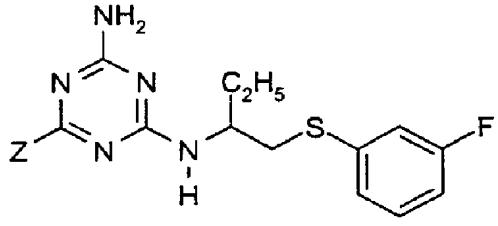
Here, Z has, for example, the meanings given above in Group 1.

Group 36



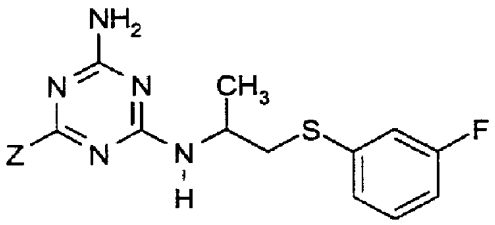
Here, Z has, for example, the meanings given above in Group 1.

Group 37



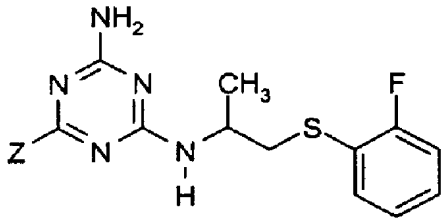
Here, Z has, for example, the meanings given above in Group 1.

Group 38



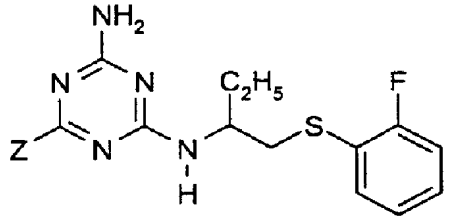
Here, Z has, for example, the meanings given above in Group 1.

Group 39



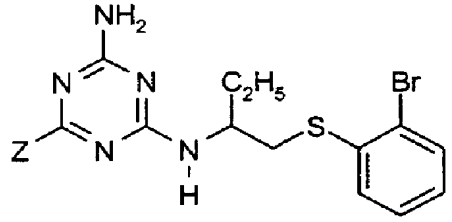
Here, Z has, for example, the meanings given above in Group 1.

Group 40



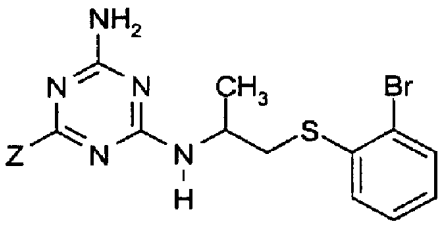
Here, Z has, for example, the meanings given above in Group 1.

Group 41



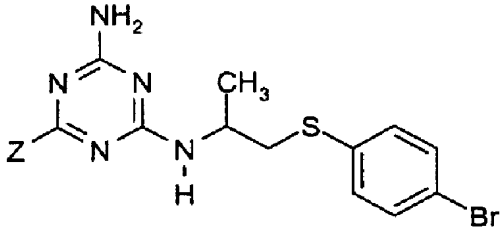
Here, Z has, for example, the meanings given above in Group 1.

Group 42



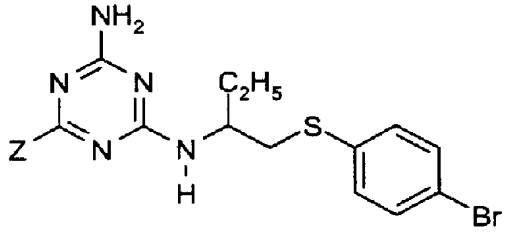
Here, Z has, for example, the meanings given above in Group 1.

Group 43



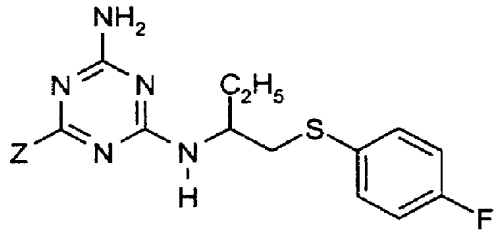
Here, Z has, for example, the meanings given above in Group 1.

Group 44



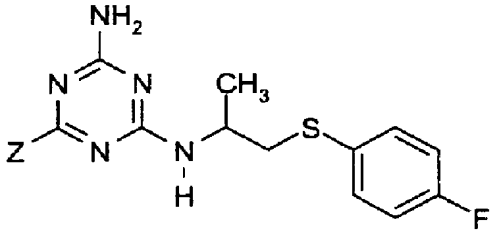
Here, Z has, for example, the meanings given above in Group 1.

Group 45



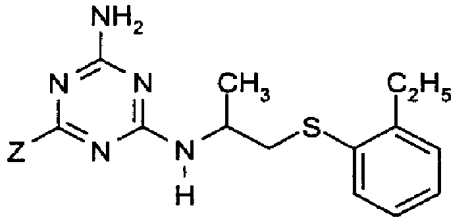
Here, Z has, for example, the meanings given above in Group 1.

Group 46



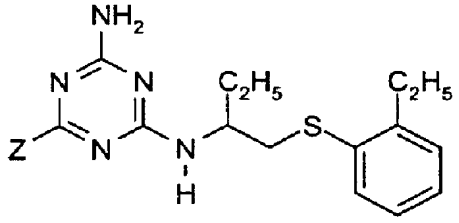
Here, Z has, for example, the meanings given above in Group 1.

Group 47



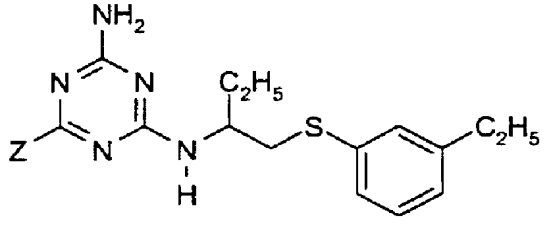
Here, Z has, for example, the meanings given above in Group 1.

Group 48



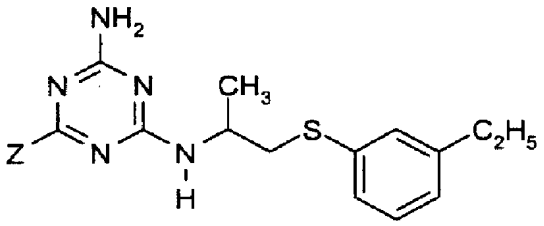
Here, Z has, for example, the meanings given above in Group 1.

Group 49



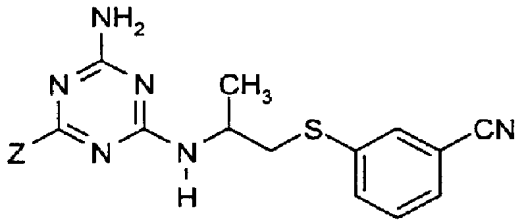
Here, Z has, for example, the meanings given above in Group 1.

Group 50



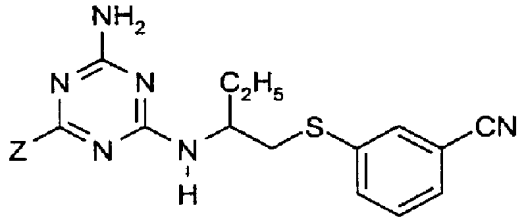
Here, Z has, for example, the meanings given above in Group 1.

Group 51



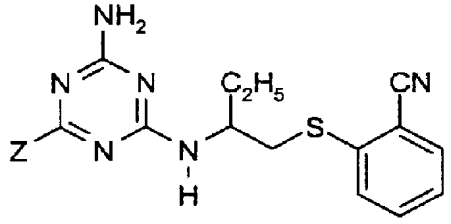
Here, Z has, for example, the meanings given above in Group 1.

Group 52



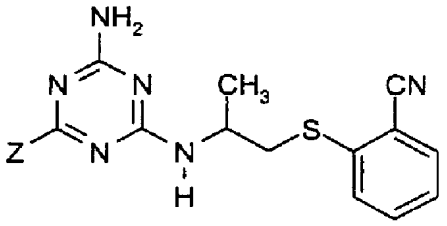
Here, Z has, for example, the meanings given above in Group 1.

Group 53



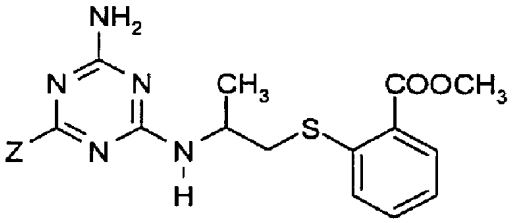
Here, Z has, for example, the meanings given above in Group 1.

Group 54



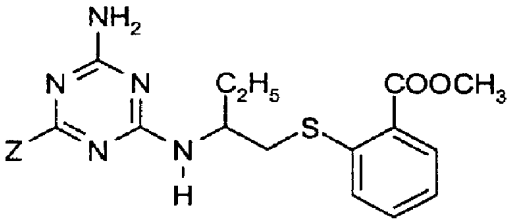
Here, Z has, for example, the meanings given above in Group 1.

Group 55



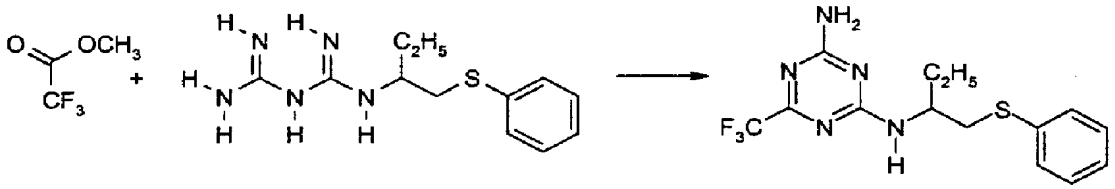
Here, Z has, for example, the meanings given above in Group 1.

Group 56



Here, Z has, for example, the meanings given above in Group 1.

Using, for example, 1-(1-phenylthiomethyl-propyl)-biguanide and methyl trifluoroacetate as starting materials, the course of the reaction in the process according to the invention can be illustrated by the formula scheme below:

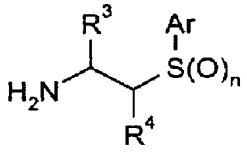


The formula (II) provides a general definition of the biguanides to be used as starting materials in the process according to the invention for preparing compounds of the formula (I). In the formula (II), n, Ar, R^1, R^2, R^3 and R^4 preferably or in particular have those meanings which have already been mentioned above in connection with the description of the compounds of the formula (I) according to the invention as being preferred or as being particularly preferred for n, Ar, R^1, R^2, R^3 and R^4.

Suitable acid adducts of compounds of the formula (II) are their adducts with protic acids, such as, for example, with hydrogen chloride, hydrogen bromide, sulfuric acid, methanesulfonic acid, benzenesulfonic acid and p-toluenesulfonic acid.

The starting materials of the general formula (II) have hitherto not been disclosed in the literature; as novel substances, they also form part of the subject-matter of the present invention.

The novel biguanides of the general formula (II) are obtained when substituted alkylamines of the general formula (IV)



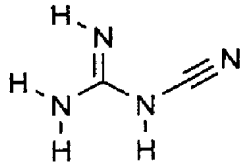
(IV)

in which

n, Ar, R^3 and R^4 are as defined above

- and/or acid adducts of compounds of the general formula (IV), such as, for example, the hydrochlorides -

are reacted with cyanoguanidine ("dicyandiamide") of the formula (V)



(V)

if appropriate in the presence of a reaction auxiliary, such as, for example, hydrogen chloride, and if appropriate in the presence of a diluent, such as, for example, n-decane or 1,2-dichloro-benzene, at temperatures between 100°C and 200°C (cf. the Preparation Examples).

After their preparation, the biguanides of the general formula (II) can also be employed without intermediate isolation directly for preparing compounds of the general formula (I) by the process according to the invention (cf. the Preparation Examples).

The substituted alkylamines of the general formula (IV) required as precursors are known and/or can be prepared by processes known per se (cf. J. Med. Chem. 1991, 34, 1082-1085; Synlett 1994, 145-147; J. Org. Chem. 1996, 61, 3375-3387; loc. cit. 1997, 62, 3424-3425; Tetrahedron 1997, 53, 16883-16890; WO 9323418; WO 9414832; Preparation Examples).

The formula (III) provides a general definition of the alkoxycarbonyl compounds further to be used as starting materials in the process according to the invention for preparing compounds of the formula (I). In the formula (III), Z preferably or in particular has that meaning which has already been mentioned above in connection with the description of the compounds of the formula (I) according to the invention as being preferred or as being particularly preferred for Z; R' preferably represents alkyl having 1 to 4 carbon atoms, in particular methyl or ethyl.

The starting materials of the general formula (III) are known organic chemicals for synthesis.

The process according to the invention for preparing compounds of the general formula (I) is preferably carried out using a reaction auxiliary. Here, suitable reaction auxiliaries are, in general, the customary inorganic or organic bases or acid acceptors. These preferably include alkali metal or alkaline earth metal acetates, amides, carbonates, bicarbonates, hydrides, hydroxides or alkoxides, such as, for example, sodium acetate, potassium acetate or calcium acetate, lithium amide, sodium amide, potassium amide or calcium amide, sodium carbonate, potassium carbonate or calcium carbonate, sodium bicarbonate, potassium bicarbonate or calcium bicarbonate, lithium hydride, sodium hydride, potassium hydride or calcium hydride, lithium hydroxide, sodium hydroxide, potassium hydroxide or calcium hydroxide, sodium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide or potassium methoxide, ethoxide, n- or i-propoxide, n-, i-, s- or t-butoxide; furthermore also basic organic nitrogen compounds, such as, for example, trimethylamine, triethylamine, tripropylamine, tributylamine, ethyl-diisopropylamine, N,N-dimethyl-cyclohexyl-amine, dicyclohexylamine, ethyl-dicyclohexylamine, N,N-dimethyl-aniline, N,N-dimethyl-benzylamine, pyridine, 2-methyl-, 3-methyl-, 4-methyl-, 2,4-dimethyl-, 2,6-dimethyl-, 3,4-dimethyl- and 3,5-dimethyl-pyridine, 5-ethyl-2-methyl-pyridine, 4-dimethylamino-pyridine, N-methyl-piperidine, 1,4-diazabicyclo[2,2,2]-octane (DABCO), 1,5-diazabicyclo[4,3,0]-non-5-ene (DBN), or 1,8-diazabicyclo[5,4,0]-undec-7-ene (DBU).

Suitable diluents for carrying out the process according to the invention are especially inert organic solvents. These include, in particular, aliphatic, alicyclic or aromatic, optionally halogenated hydrocarbons, such as, for example, benzine, benzene, toluene, xylene, chlorobenzene, dichlorobenzene, petroleum ether, hexane, cyclohexane, dichloromethane, chloroform, carbon tetrachloride; ethers, such as diethyl ether, diisopropyl ether, dioxane, tetrahydrofuran or ethylene glycol dimethyl ether or ethylene glycol diethyl ether; ketones, such as acetone, butanone or methyl isobutyl ketone; nitriles, such as acetonitrile, propionitrile or butyronitrile; amides, such as N,N-dimethylformamide, N,N-dimethylacetamide, N-methyl-formanilide, N-

methyl-pyrrolidone or hexamethylphosphoric triamide; esters such as methyl acetate or ethyl acetate, sulfoxides, such as dimethyl sulfoxide, alcohols, such as methanol, ethanol, n- or i-propanol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, mixtures thereof with water or pure water.

When carrying out the process according to the invention, the reaction temperatures can be varied within a relatively wide range. In general, the process is carried out at temperatures between –20°C and +150°C, preferably between –10°C and +100°C.

The process according to the invention is generally carried out under atmospheric pressure. However, it is also possible to carry out the process according to the invention under elevated or reduced pressure – in general between 0.1 bar and 10 bar.

For carrying out the process according to the invention, the starting materials are generally employed in approximately equimolar amounts. However, it is also possible to use a relatively large excess of one of the components. The reaction is generally carried out in a suitable diluent in the presence of a reaction auxiliary and the reaction mixture is generally heated for several hours at the required temperature. Work-up is carried out by customary methods (cf. the Preparation Examples).

The active compounds according to the invention can be used as defoliants, desiccants, haulm killers and, especially, as weed killers. By weeds in the broadest sense there are to be understood all plants which grow in locations where they are undesired. Whether the substances according to the invention act as total or selective herbicides depends essentially on the amount used.

The active compounds according to the invention can be used, for example, in connection with the following plants:

Dicotyledonous weeds of the genera: Sinapis, Lepidium, Galium, Stellaria, Matricaria, Anthemis, Galinsoga, Chenopodium, Urtica, Senecio, Amaranthus, Portulaca, Xanthium, Convolvulus, Ipomoea, Polygonum, Sesbania, Ambrosia, Cirsium, Carduus, Sonchus, Solanum, Rorippa, Rotala, Lindernia, Lamium, Veronica, Abutilon, Emex, Datura, Viola, Galeopsis, Papaver, Centaurea, Trifolium, Ranunculus, Taraxacum.

Dicotyledonous crops of the genera: Gossypium, Glycine, Beta, Daucus, Phaseolus, Pisum, Solanum, Linum, Ipomoea, Vicia, Nicotiana, Lycopersicon, Arachis, Brassica, Lactuca, Cucumis, Cucurbita.

Monocotyledonous weeds of the genera: Echinochloa, Setaria, Panicum, Digitaria, Phleum, Poa, Festuca, Eleusine, Brachiaria, Lolium, Bromus, Avena, Cyperus, Sorghum, Agropyron, Cynodon, Monochoria, Fimbristylis, Sagittaria, Eleocharis, Scirpus, Paspalum, Ischaemum, Sphenoclea, Dactyloctenium, Agrostis, Alopecurus, Apera.

Monocotyledonous crops of the genera: Oryza, Zea, Triticum, Hordeum, Avena, Secale, Sorghum, Panicum, Saccharum, Ananas, Asparagus, Allium.

However, the use of the active compounds according to the invention is in no way restricted to these genera, but also extends in the same manner to other plants.

Depending on the concentration, the compounds are suitable for total weed control, for example on industrial terrain and rail tracks and on paths and areas with or without tree growth. Equally, the compounds can be employed for controlling weeds in perennial crops, for example forests, ornamental tree plantings, orchards, vineyards, citrus groves, nut orchards, banana plantations, coffee plantations, tea plantations, rubber plantations, oil palm plantations, cocoa plantations, soft fruit plantings and hop fields, on lawns and turf and pastures and for selective weed control in annual crops.

The compounds of the formula (I) according to the invention are particularly suitable for selective control of monocotyledonous and dicotyledonous weeds in monocotyledonous and dicotyledonous crops, both by the pre-emergence and by the post-emergence method.

The active compounds can be converted into the customary formulations, such as solutions, emulsions, wettable powders, suspensions, powders, dusts, pastes, soluble powders, granules, suspo-emulsion concentrates, natural and synthetic substances impregnated with active compound, and microencapsulations in polymeric substances.

These formulations are produced in a known manner, for example by mixing the active compounds with extenders, that is to say liquid solvents and/or solid carriers, optionally with the use of surfactants, that is to say emulsifiers and/or dispersants and/or foam formers.

If the extender used is water, it is also possible to use, for example, organic solvents as auxiliary solvents. Liquid solvents which are mainly suitable are: aromatics, such as xylene, toluene or alkylnaphthalenes, chlorinated aromatics and chlorinated aliphatic hydrocarbons, such as chlorobenzenes, chloroethylenes or methylene chloride, aliphatic hydrocarbons, such as cyclohexane or paraffins, for example petroleum fractions, mineral and vegetable oils, alcohols, such as butanol or glycol, and also their ethers and esters, ketones, such as acetone, methyl ethyl ketone, methyl isobutyl ketone or cyclohexanone, strongly polar solvents, such as dimethylformamide and dimethyl sulfoxide, and water.

Suitable solid carriers are: for example ammonium salts and ground natural minerals, such as kaolins, clays, talc, chalk, quartz, attapulgite, montmorillonite or diatomaceous earth, and ground synthetic minerals, such as finely divided silica, alumina and silicates; suitable solid carriers for granules are: for example crushed

and fractionated natural rocks, such as calcite, marble, pumice, sepiolite, dolomite and synthetic granules of inorganic and organic meals, and granules of organic material, such as sawdust, coconut shells, maize cobs and tobacco stalks; suitable emulsifiers and/or foam formers are: for example nonionic and anionic emulsifiers, such as polyoxyethylene fatty acid esters, polyoxyethylene fatty alcohol ethers, for example alkylaryl polyglycol ethers, alkylsulfonates, alkyl sulfates, arylsulfonates and protein hydrolysates; suitable dispersants are: for example lignosulfite waste liquors and methylcellulose.

Tackifiers, such as carboxymethylcellulose, natural and synthetic polymers in the form of powders, granules or latices, such as gum arabic, polyvinyl alcohol and polyvinyl acetate, and also natural phospholipids, such as cephalins and lecithins, and synthetic phospholipids can be used in the formulations. Other possible additives are mineral and vegetable oils.

It is possible to use colorants, such as inorganic pigments, for example iron oxide, titanium oxide, Prussian blue, and organic dyestuffs, such as alizarin dyestuffs, azo dyestuffs and metal phthalocyanine dyestuffs, and trace nutrients, such as salts of iron, manganese, boron, copper, cobalt, molybdenum and zinc.

The formulations generally comprise between 0.1 and 95 per cent by weight of active compound, preferably between 0.5 and 90%.

For controlling weeds, the active compounds according to the invention, as such or in the form of their formulations, can also be used as mixtures with known herbicides, finished formulations or tank mixes being possible.

Possible components for the mixtures are known herbicides, for example acetochlor, acifluorfen(-sodium), aclonifen, alachlor, alloxydim(-sodium), ametryne, amidochlor, amidosulfuron, anilofos, asulam, atrazine, azafenidin, azimsulfuron, benazolin(-ethyl), benfuresate, bensulfuron(-methyl), bentazon, benzofenap,

benzoylprop(-ethyl), bialaphos, bifenox, bispyribac(-sodium), bromobutide, bromofenoxim, bromoxynil, butachlor, butroxydim, butylate, cafenstrole, caloxydim, carbetamide, carfentrazone(-ethyl), chlomethoxyfen, chloramben, chloridazon, chlorimuron(-ethyl), chlornitrofen, chlorsulfuron, chlortoluron, cinmethylin, cinosulfuron, clethodim, clodinafop(-propargyl), clomazone, clomeprop, clopyralid, clopyrasulfuron(-methyl), cloransulam(-methyl), cumyluron, cyanazine, cycloate, cyclosulfamuron, cycloxydim, cyhalofop(-butyl), 2,4-D, 2,4-DB, 2,4-DP, desmedipham, diallate, dicamba, diclofop(-methyl), diclosulam, diethatyl(-ethyl), difenzoquat, diflufenican, diflufenzopyr, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dimexyflam, dinitramine, diphenamid, diquat, dithiopyr, diuron, dymron, epoprodan, EPTC, esprocarb, ethalfluralin, ethametsulfuron(-methyl), ethofumesate, ethoxyfen, ethoxysulfuron, etobenzanid, fenoxaprop(-P-ethyl), flamprop(-isopropyl), flamprop(-isopropyl-L), flamprop(-methyl), flazasulfuron, fluazifop(-P-butyl), flufenacet, flumetsulam, flumiclorac(-pentyl), flumioxazin, flumipropyn, flumetsulam, fluometuron, fluorochloridone, fluoroglycofen(-ethyl), flupoxam, flupropacil, flurpyrsulfuron(-methyl, -sodium), flurenol(-butyl), fluridone, fluroxypyr(-meptyl), flurprimidol, flurtamone, fluthiacet(-methyl), fluthiamide, fomesafen, glufosinate(-ammonium), glyphosate(-isopropylammonium), halosafen, haloxyfop(-ethoxyethyl), haloxyfop(-P-methyl), hexazinone, imazamethabenz-(-methyl), imazamethapyr, imazamox, imazapyr, imazaquin, imazethapyr, imazosulfuron, ioxynil, isopropalin, isoproturon, isouron, isoxaben, isoxaflutole, isoxapyrifop, lactofen, lenacil, linuron, MCPA, MCPP, mefenacet, metamitron, metazachlor, methabenzthiazuron, metobenzuron, metobromuron, (alpha-)metolachlor, metosulam, metoxuron, metribuzin, metsulfuron(-methyl), molinate, monolinuron, naproanilide, napropamide, neburon, nicosulfuron, norflurazon, orbencarb, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclomefone, oxyfluorfen, paraquat, pelargonic acid, pendimethalin, pentoxazone, phenmedipham, piperophos, pretilachlor, primisulfuron(-methyl), prometryn, propachlor, propanil, propaquizafop, propisochlor, propyzamide, prosulfocarb, prosulfuron, pyraflufen(-ethyl), pyrazolate, pyrazosulfuron(-ethyl), pyrazoxyfen,

pyribenzoxim, pyributicarb, pyridate, pyriminobac(-methyl), pyrithiobac(-sodium), quinchlorac, quinmerac, quinoclamine, quizalofop(-P-ethyl), quizalofop(-P-tefuryl), rimsulfuron, sethoxydim, simazine, simetryn, sulcotrione, sulfentrazone, sulfometuron(-methyl), sulfosate, sulfosulfuron, tebutam, tebuthiuron, terbuthylazine, terbutryn, thenylchlor, thiafluamide, thiazopyr, thidiazimin, thifensulfuron(-methyl), thiobencarb, tiocarbazil, tralkoxydim, triallate, triasulfuron, tribenuron(-methyl), triclopyr, tridiphane, trifluralin and triflusulfuron.

A mixture with other known active compounds, such as fungicides, insecticides, acaricides, nematicides, bird repellents, plant nutrients and agents which improve soil structure, is also possible.

The active compounds can be used as such, in the form of their formulations or in the use forms prepared therefrom by further dilution, such as ready-to-use solutions, suspensions, emulsions, powders, pastes and granules. They are used in the customary manner, for example by watering, spraying, atomizing, scattering.

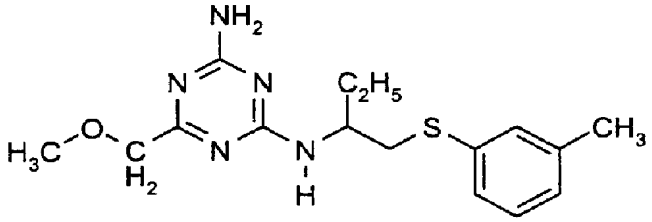
The active compounds according to the invention can be applied both before and after emergence of the plants. They can also be incorporated into the soil before sowing.

The amount of active compound used can vary within a relatively wide range. It depends essentially on the nature of the desired effect. In general, the amounts used are between 1 g and 10 kg of active compound per hectare of soil surface, preferably between 5 g and 5 kg per ha.

The preparation and the use of the active compounds according to the invention can be seen from the examples below.

Preparation Examples:

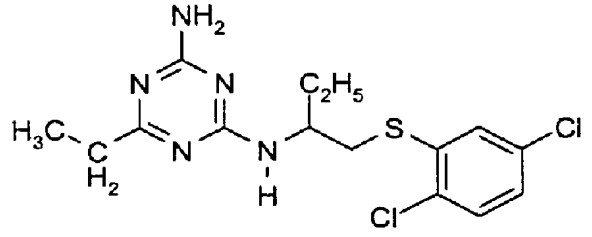
Example 1



1.3 g (4.0 mmol) of 1-[1-(3-methyl-phenylthiomethyl)-propyl]-biguanide hydrochloride (racemic) are dissolved in 30 ml of methanol and admixed with 1.2 g of zeolite molecular sieve. The mixture is cooled to –10°C, admixed with 0.43 g (8.0 mmol) of sodium methoxide and then admixed dropwise with stirring with 0.46 g (4.4 mmol) of methyl methoxy acetate. After warming to room temperature (approximately 20°C), the reaction mixture is stirred for another 15 hours. The solid components are separated off by filtration with suction, the filtrate is concentrated under waterpump vacuum and the residue is worked up by column chromatography (silica gel, ethyl acetate / hexane, vol.: 1:1).

This gives 0.9 g (70% of theory) of 2-amino-4-methoxymethyl-6-[1-(3-methyl-phenylthiomethyl)-propylamino]-1,3,5-triazine (racemate) as a viscous oil.

Example 2

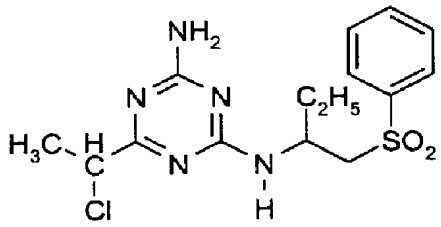


(with synthesis of the starting material)

A mixture of 2.9 g (10 mmol) of 1-(2,5-dichloro-phenylthiomethyl)-propylamine hydrochloride (racemic) and 0.8 g (10 mmol) of dicyandiamide is heated at 160°C for 30 minutes. The mixture is then allowed to cool to about 80°C, and 30 ml of methanol are added. The resulting solution is cooled to −10°C and admixed successively with 2 g of zeolite molecular sieve, 1.1 g (20 mmol) of sodium methoxide and 1.0 g (11 mmol) of methyl propionate. The reaction mixture is stirred at room temperature (approximately 20°C) for 15 hours and then filtered. The filtrate is concentrated under waterpump vacuum and the residue is worked up by column chromatography (silica gel, ethyl acetate / hexane, vol.: 1:2).

This gives 1.9 g (51% of theory) of 2-amino-4-ethyl-6-[1-(2,5-dichloro-phenylthio-methyl)-propylamino]-1,3,5-triazine (racemate) of melting point 96°C.

Example 3

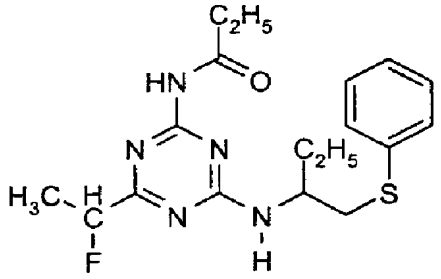


(subsequent reaction)

1.7 g (5.0 mmol) of 2-amino-4-(1-chloro-ethyl)-6-(1-phenylthiomethyl-propyl-amino)-1,3,5-triazine (racemic) and 3.5 g (20 mmol) of 3-chloro-perbenzoic acid in 100 ml of dichloromethane are stirred at room temperature (approximately 20°C) for two days. The mixture is then washed with 50 ml of 5% strength aqueous sodium bicarbonate solution and the organic phase is dried with sodium sulfate and filtered. The filtrate is concentrated under waterpump vacuum, the residue is crystallized by digestion with diethyl ether and the crystalline product is isolated by filtration with suction.

This gives 0.5 g (27% of theory) of 2-amino-4-(1-chloro-ethyl)-6-(1-phenylsulfonyl-methyl-propylamino)-1,3,5-triazine (racemate) of melting point 134°C.

Example 4

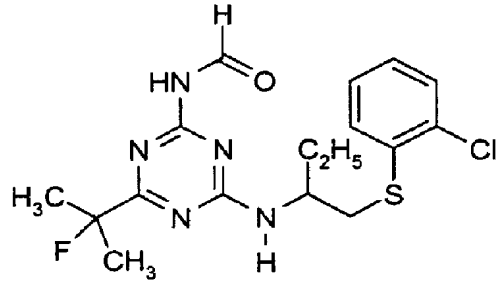


(subsequent reaction)

A mixture of 1.2 g (3.7 mmol) of 2-amino-4-(1-fluoro-ethyl)-6-(1-phenylthio-methyl-propylamino)-1,3,5-triazine (racemic) and 30 ml of propionic anhydride is stirred at 80°C for 60 minutes. After cooling to room temperature (approximately 20°C), the reaction mixture is stirred with about the same amount by volume of water, and the resulting crystalline product is isolated by filtration with suction.

This gives 1.3 g (92% of theory) of 2-propionylamino-4-(1-fluoro-ethyl)-6-(1-phenyl-thiomethyl-propylamino)-1,3,5-triazine (racemate) of melting point 58°C.

Example 5



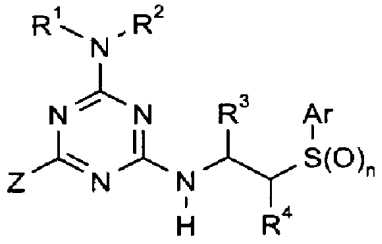
(subsequent reaction)

1.85 g (5.0 mmol) of 2-amino-4-(1-fluoro-1-methyl-ethyl)-6-[1-(2-chloro-phenylthiomethyl)-propylamino]-1,3,5-triazine (racemic) and 0.8 g (6.0 mmol) of N,N-dimethyl-formamide dimethyl acetal in 50 ml of dioxane are stirred at room temperature (approximately 20°C) for 15 hours. The mixture is then concentrated under waterpump vacuum, the residue is admixed with 30 ml of water and 25 ml of 1 N hydrochloric acid and the resulting crystalline product is isolated by filtration with suction.

This gives 1.2 g (60% of theory) of 2-formylamino-4-(1-fluoro-1-methyl-ethyl)-6-[1-(2-chloro-phenylthiomethyl)-propylamino]-1,3,5-triazine (racemate) of melting point 150°C.

Analogously to Preparation Examples 1 to 5 and in accordance with the general description of the preparation process according to the invention, it is also possible to prepare, for example, the compounds of the formula (I) listed in Table 1 below.

Table 1: Examples of the compounds of the formula (I)



(I)

Table 1 (continued)

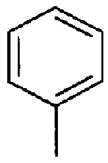
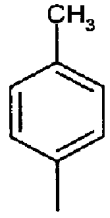
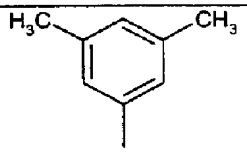
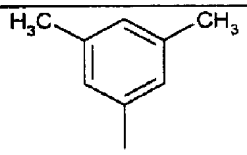
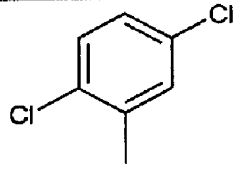
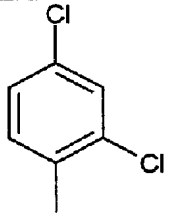
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
6	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
7	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate)
8	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate)
9	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)
10	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
11	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)

Table 1 (continued)

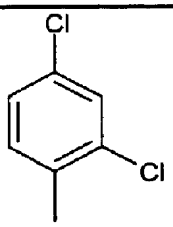
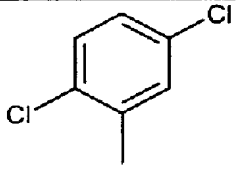
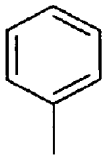
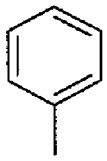
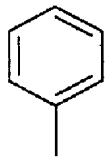
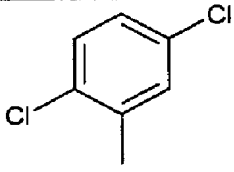
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
12	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)
13	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)
14	H	H	C_2H_5	H		$CHCl_2$	0	(oil) (racemate)
15	H	H	C_2H_5	H		C_3H_7-i	0	(oil) (racemate)
16	H		C_2H_5	H		H	0	m.p.: 143°C (racemate)
17	H		CH_3	H		$CF(CH_3)_2$	0	m.p.: 131C (racemate)

Table 1 (continued)

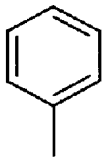
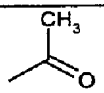
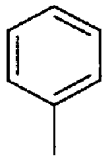
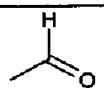
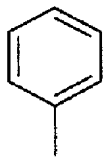
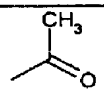
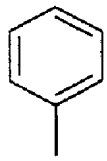
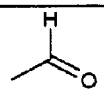
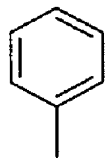
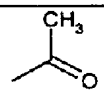
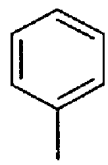
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
18	H	H	C_2H_5	H		$CHFCH_3$	0	(amorphous) (racemate)
19	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 125°C (racemate)
20	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 124°C (racemate)
21	H		C_2H_5	H		C_2H_5	0	m.p.: 126°C (racemate)
22	H		C_2H_5	H		C_2H_5	0	m.p.: 113°C (racemate)
23	H		CH_3	CH_3		$CF(CH_3)_2$	0	m.p.: 122°C (racemate)

Table 1 (continued)

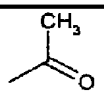
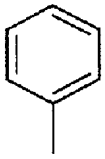
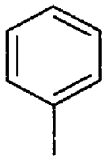
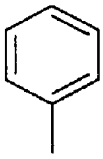
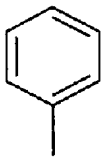
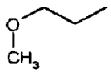
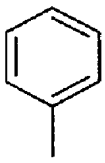
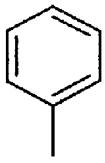
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
24	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 99°C (racemate)
25	H	H	CH_3	CH_3		$CHCl_2$	0	(amorphous) (racemate)
26	H	H	CH_3	CH_3		$CHFCH_3$	0	(oil) (racemate)
27	H	H	C_2H_5	H			0	(oil) (racemate)
28	H	H	C_2H_5	H			0	(oil) (racemate)
29	H	H	C_2H_5	H		$CHClCH_3$	0	(oil) (racemate)

Table 1 (continued)

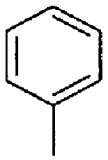
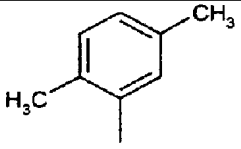
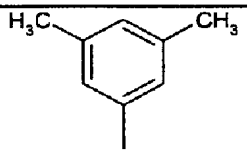
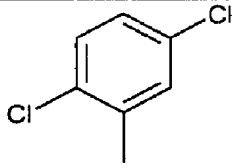
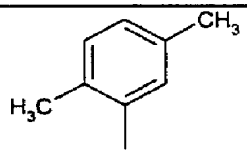
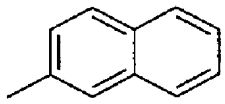
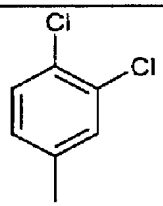
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
30	H	H	C_2H_5	H		$CHClCH_3$	1	(racemate)
31	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate)
32	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
33	H	H	CH_3	H		$CF(CH_3)_2$	0	m.p.: 74°C (racemate)
34	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
35	H	H	CH_3	H		$CF(CH_3)_2$	0	m.p.: 62°C (racemate)
36	H	H	CH_3	H		$CF(CH_3)_2$	0	m.p.: 53°C (racemate)

Table 1 (continued)

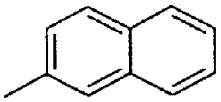
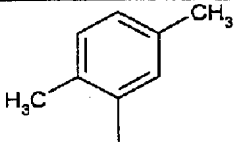
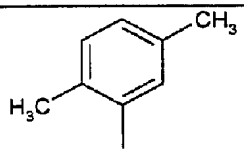
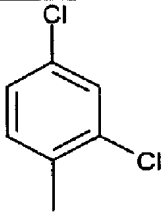
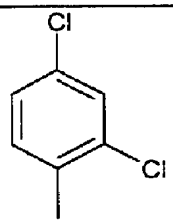
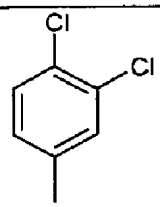
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
37	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)
38	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)
39	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)
40	H	H	CH_3	H		$CF(CH_3)_2$	0	m.p.: 124°C (racemate)
41	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)
42	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)

Table 1 (continued)

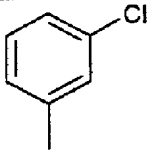
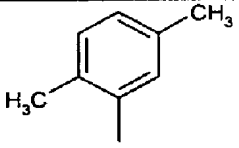
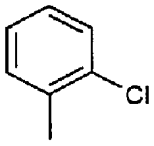
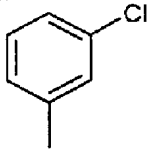
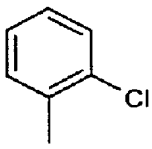
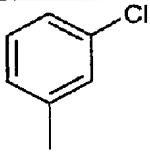
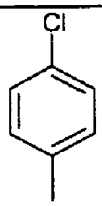
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
43	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)
44	H	H	C_2H_5	H		CH_3	0	(oil) (racemate)
45	H	H	CH_3	H		$CF(CH_3)_2$	0	m.p.: 115°C (racemate)
46	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
47	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
48	H	H	C_2H_5	H		CH_3	0	(oil) (racemate)
49	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)

Table 1 (continued)

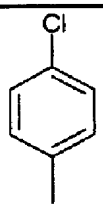
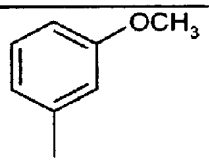
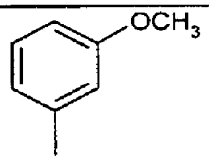
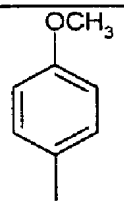
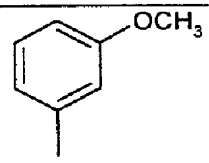
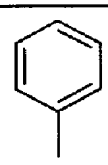
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
50	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate)
51	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
52	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)
53	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
54	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate)
55	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)

Table 1 (continued)

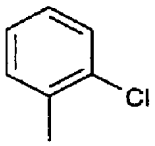
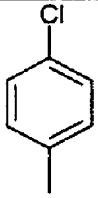
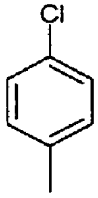
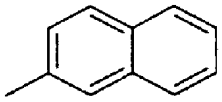
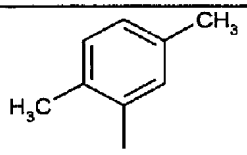
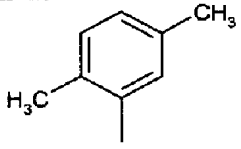
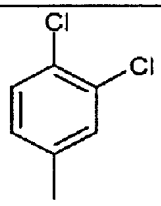
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
56	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)
57	H	H	C_2H_5	H		C_2H_5	0	(oil) (racemate)
58	H	H	CH_3	H		C_2H_5	0	(oil) (racemate)
59	H	H	CH_3	H		$CHCl_2$	0	(oil) (racemate)
60	H	H	CH_3	H		$CHCl_2$	0	(oil) (racemate)
61	H	H	C_2H_5	H		$CHCl_2$	0	(oil) (racemate)
62	H	H	CH_3	H		$CHCl_2$	0	(oil) (racemate)

Table 1 (continued)

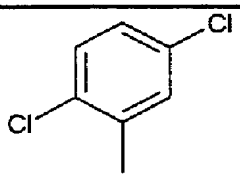
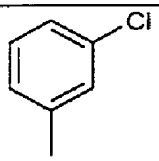
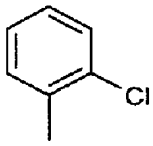
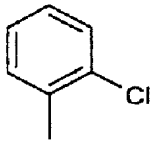
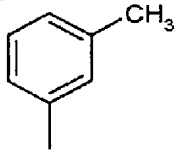
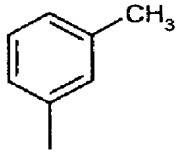
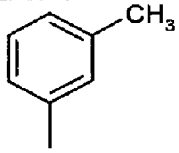
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
63	H	H	C_2H_5	H		$CHCl_2$	0	(oil) (racemate)
64	H	H	C_2H_5	H		$CHCl_2$	0	(oil) (racemate)
65	H	H	CH_3	H		$CHCl_2$	0	(oil) (racemate)
66	H	H	C_2H_5	H		$CHCl_2$	0	(oil) (racemate)
67	H	H	C_2H_5	H		$CHCl_2$	0	(oil) (racemate)
68	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
69	H	H	C_2H_5	H		$CHFCH_3$	0	(oil) (racemate)

Table 1 (continued)

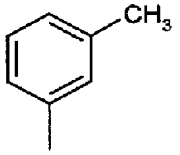
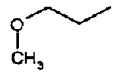
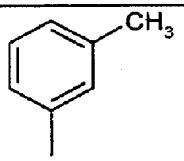
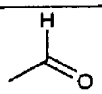
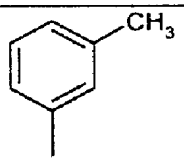
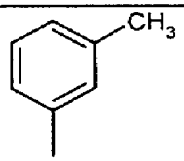
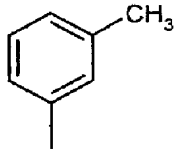
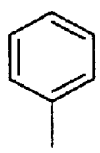
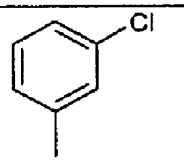
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
70	H	H	C_2H_5	H			0	(oil) (racemate)
71	H	H	C_2H_5	H		C_3H_7-i	0	(oil) (racemate)
72	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 128°C (racemate)
73	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 116°C (racemate)
74	H	H	C_2H_5	H		$CHClCH_3$	0	(oil) (racemate)
75	H		C_2H_5	H		$CHClCH_3$	0	m.p.: 124°C (racemate)
76	H	H	C_2H_5	H		$CHFCH_3$	0	(oil) (racemate)

Table 1 (continued)

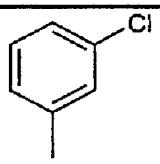
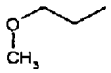
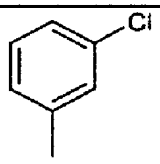
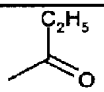
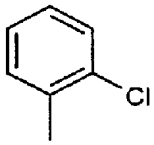
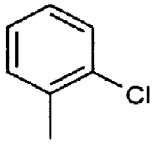
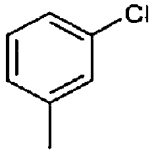
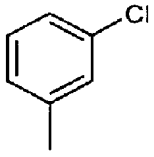
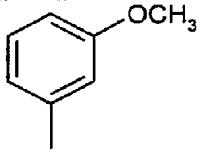
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
77	H	H	C_2H_5	H			0	(oil) (racemate)
78	H	H	C_2H_5	H		C_3H_7-i	0	(oil) (racemate)
79	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 111°C (racemate)
80	H		CH_3	H		$CF(CH_3)_2$	0	m.p.: 138°C (racemate)
81	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 117°C (racemate)
82	H	H	C_2H_5	H		$CHClCH_3$	0	(oil) (racemate)
83	H	H	C_2H_5	H		$CHFCH_3$	0	(oil) (racemate)

Table 1 (continued)

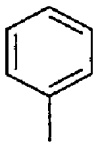
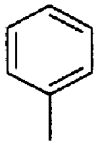
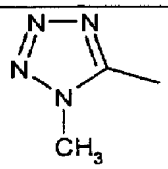
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
84	H	H	CH_3	H		$CF(CH_3)_2$	0	m.p.: 120°C (racemate)
85	H	H	CH_3	H		$CF(CH_3)_2$	2	m.p.: 202°C (racemate)
86	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate) n_{20}^{D} : 1.5459

Table 1 (continued)

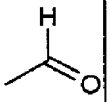
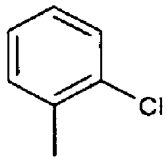
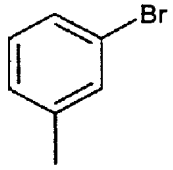
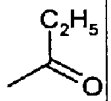
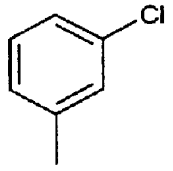
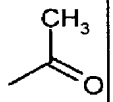
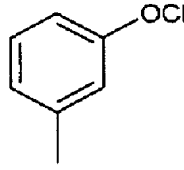
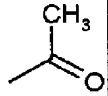
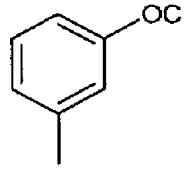
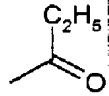
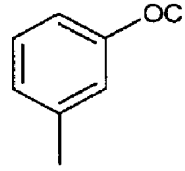
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
87	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 150°C (racemate)
88	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
89	H		C_2H_5	H		CH_2OCH_3	0	m.p.: 84°C (racemate)
90	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 109°C (racemate)
91	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 135°C (racemate)
92	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 91°C (racemate)

Table 1 (continued)

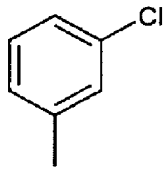
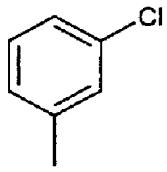
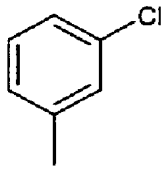
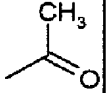
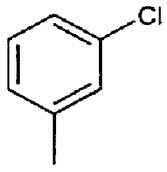
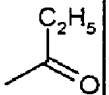
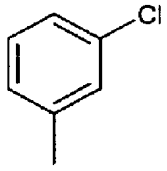
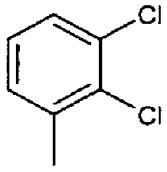
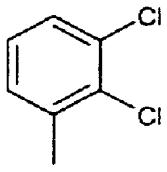
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
93	H	H	CH_3	H		$CF(CH_3)_2$	0	(oil) (racemate)
94	H	H	CH_3	H		$CHFCH_3$	0	(oil) (racemate)
95	H	H	CH_3	H		$CHClCH_3$	0	(oil) (racemate)
96	H		CH_3	H		$CF(CH_3)_2$	0	m.p.: 119°C (racemate)
97	H		CH_3	H		$CHClCH_3$	0	m.p.: 100°C (racemate)
98	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(amorphous) (racemate)
99	H	H	C_2H_5	H		$CHFCH_3$	0	(amorphous) (racemate)

Table 1 (continued)

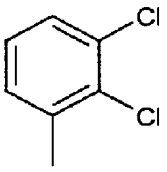
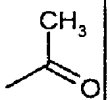
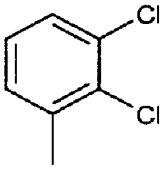
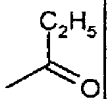
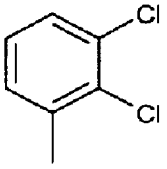
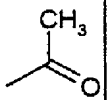
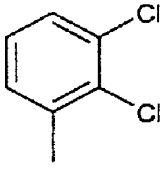
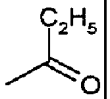
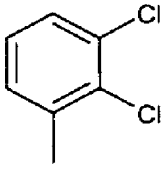
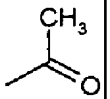
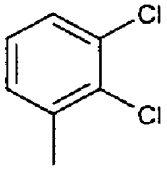
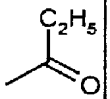
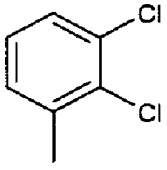
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
100	H	H	C_2H_5	H		$CHClCH_3$	0	(amorphous) (racemate)
101	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 169°C (racemate)
102	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 161°C (racemate)
103	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 146°C (racemate)
104	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 154°C (racemate)
105	H		C_2H_5	H		$CHClCH_3$	0	m.p.: 147°C (racemate)
106	H		C_2H_5	H		$CHClCH_3$	0	m.p.: 141°C (racemate)

Table 1 (continued)

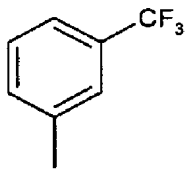
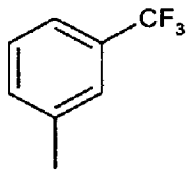
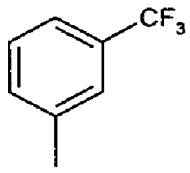
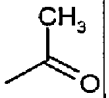
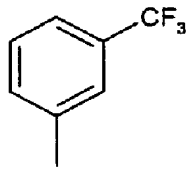
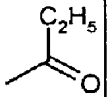
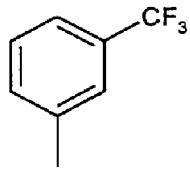
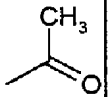
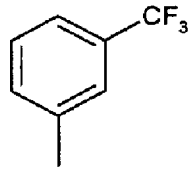
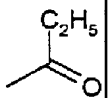
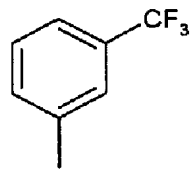
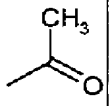
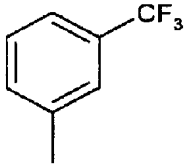
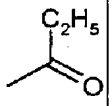
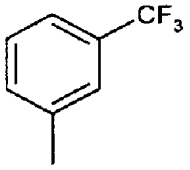
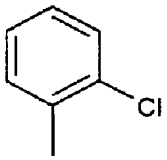
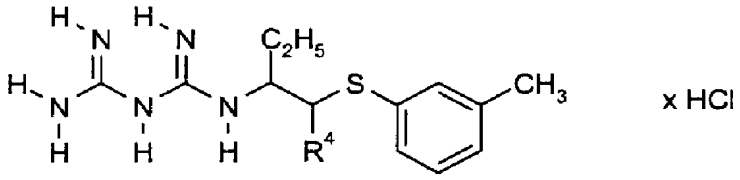
Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
107	H	H	C_2H_5	H		$CF(CH_3)_2$	0	(oil) (racemate)
108	H	H	C_2H_5	H		$CHFCH_3$	0	(oil) (racemate)
109	H	H	C_2H_5	H		$CHClCH_3$	0	(oil) (racemate)
110	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 117°C (racemate)
111	H		C_2H_5	H		$CF(CH_3)_2$	0	m.p.: 95°C (racemate)
112	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 102°C (racemate)
113	H		C_2H_5	H		$CHFCH_3$	0	m.p.: 68°C (racemate)

Table 1 (continued)

Ex. No.	R^1	R^2	R^3	R^4	Ar	Z	n	Physical data and stereochem. specifications
114	H		C_2H_5	H		$CHClCH_3$	0	m.p.: 79°C (racemate)
115	H		C_2H_5	H		$CHClCH_3$	0	m.p.: 61°C (racemate)
116	H	H	C_2H_5	H		$CHFCH_3$	0	(oil) (racemate)

Starting materials of the formula (II):

Example (II-1)

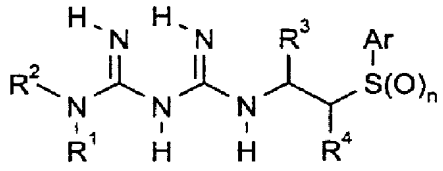


x HCl

A mixture of 1.9 g (8.0 mmol) of 1-(3-methyl-phenylthio)-2-amino-butane hydrochloride (racemic) and 0.69 g (8.0 mmol) of cyanoguanidine (dicyandiamide) is stirred at 160°C for 30 minutes. After the resulting melt has cooled, 2.5 g (99% of theory) of 1-[1-(3-methyl-phenylthiomethyl)-propyl]-biguanide hydrochloride are obtained as a hygroscopic solid of melting point 110°C.

Analogously to Example (II-1), it is also possible to prepare, for example, the compounds of the formula (II) listed in Table 2 below.

Table 2: Examples of the compounds of the formula (II)



In all cases, the compounds are the corresponding hydrochlorides

Table 2 (continued)

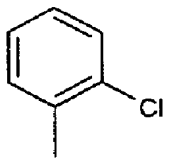
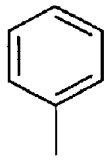
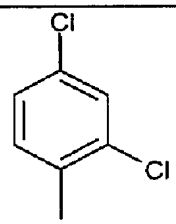
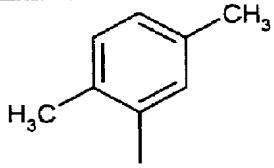
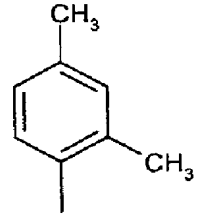
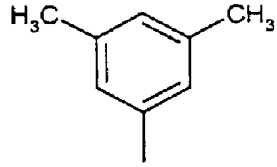
Ex. No.	R^1	R^2	R^3	R^4	Ar	n	Physical data and stereochem. specifications
II-2	H	H	CH_3	H		0	(racemate)
II-3	H	H	CH_3	CH_3		0	(racemate)
II-4	H	H	C_2H_5	H		0	(racemate)
II-5	H	H	CH_3	H		0	(racemate)
II-6	H	H	C_2H_5	H		0	(racemate)
II-7	H	H	CH_3	H		0	(racemate)

Table 2 (continued)

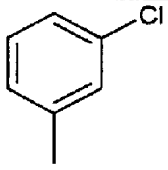
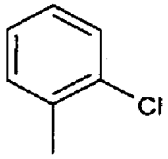
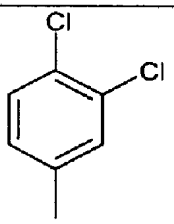
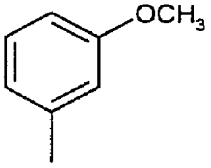
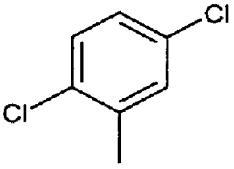
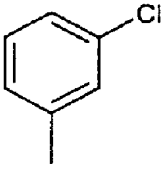
Ex. No.	R^1	R^2	R^3	R^4	Ar	n	Physical data and stereochem. specifications
II-8	H	H	CH_3	H		0	(racemate)
II-9	H	H	C_2H_5	H		0	(racemate)
II-10	H	H	C_2H_5	H		0	(racemate)
II-11	H	H	CH_3	H		0	(racemate)
II-12	H	H	C_2H_5	H		0	(racemate)
II-13	H	H	C_2H_5	H		0	(racemate)

Table 2 (continued)

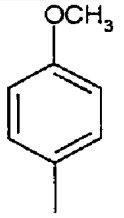
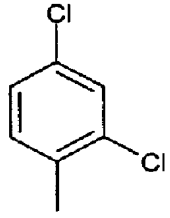
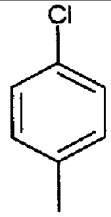
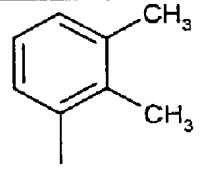
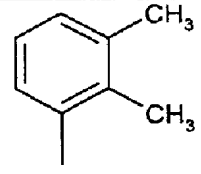
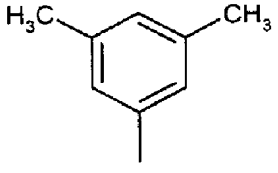
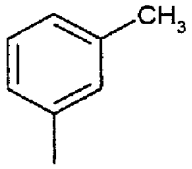
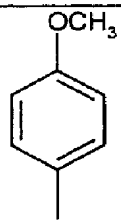
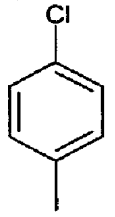
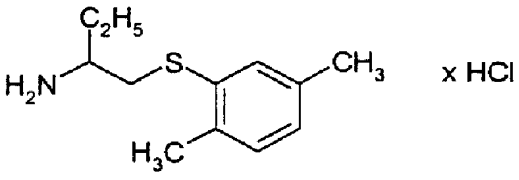
Ex. No.	R^1	R^2	R^3	R^4	Ar	n	Physical data and stereochem. specifications
II-14	H	H	C_2H_5	H		0	(racemate)
II-15	H	H	CH_3	H		0	(racemate)
II-16	H	H	C_2H_5	H		0	(racemate)
II-17	H	H	C_2H_5	H		0	(racemate)
II-18	H	H	CH_3	H		0	(racemate)
II-19	H	H	C_2H_5	H		0	(racemate)

Table 2 (continued)

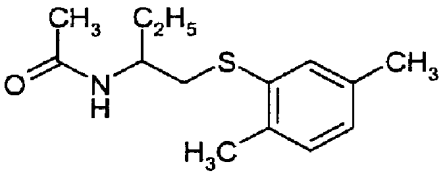
Ex. No.	R^1	R^2	R^3	R^4	Ar	n	Physical data and stereochem. specifications
II-20	H	H	CH_3	H		0	(racemate)
II-21	H	H	CH_3	H		0	(racemate)
II-22	H	H	CH_3	H		0	(racemate)

Starting materials of the formula (IV):

Example (IV-1)



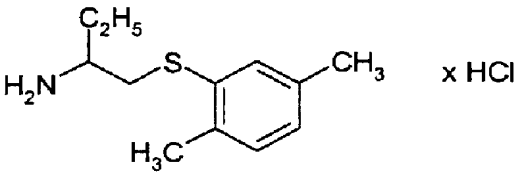
Step 1



A mixture of 9.0 g (65 mmol) of 2,5-dimethyl-thiophenol and 7.7 g (65 mmol) of 2-methyl-4-ethyl-2-oxazoline is stirred at 140°C for 15 hours. Subsequently – after cooling to room temperature – the mixture is stirred with diethyl ether and the resulting crystalline product is isolated by filtration with suction.

This gives 14.7 g (90% of theory) of N-acetyl-1-(2,5-dimethyl-phenylthio)-2-amino-butane of melting point 132°C.

Step 2

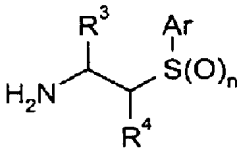


12.2 g (52 mmol) of N-acetyl-1-(2,5-dimethy-phenylthio)-2-amino-butane in 30 ml of conc. hydrochloric acid are heated under reflux for 15 hours. After cooling to room temperature, the reaction mixture is admixed with 100 ml of water and shaken with diethyl ether. The aqueous phase is separated off and concentrated under waterpump vacuum. The residue is digested with diethyl ether and the resulting crystalline product is isolated by filtration with suction.

This gives 8.3 g (69% of theory) of 1-(2,5-dimethyl-phenylthio)-2-amino-butane hydrochloride of melting point 119°C.

Analogously to Example (IV-1), it is also possible to prepare, for example, the compounds of the formula (IV) listed in Table 3 below.

Table 3: Examples of the compounds of the formula (IV)



(IV)

In all cases, the compounds are the corresponding hydrochlorides.

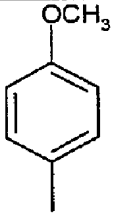
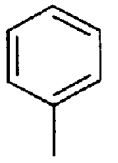
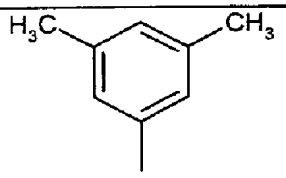
Ex. No.	R^3	R^4	Ar	n	Physical data and stereochem. specifications
IV-2	CH_3	H		0	(oil) (racemate)
IV-3	C_2H_5	H		0	(oil) (racemate)
IV-4	CH_3	H		0	(oil) (racemate)

Table 3 (continued)

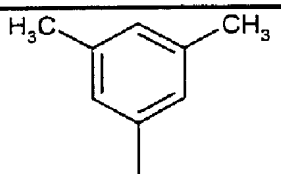
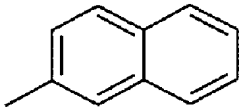
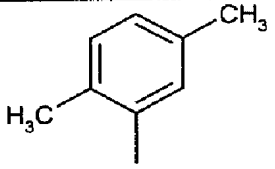
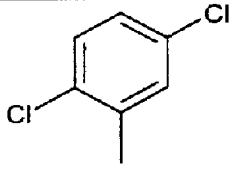
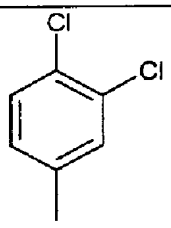
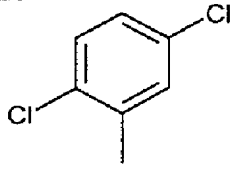
Ex. No.	R^3	R^4	Ar	n	Physical data and stereochem. specifications
IV-5	C_2H_5	H		0	m.p.: 57°C (racemate)
IV-6	CH_3	H		0	m.p.: 102°C (racemate)
IV-7	CH_3	H		0	m.p.: 119°C (racemate)
IV-8	CH_3	H		0	m.p.: 148°C (racemate)
IV-9	CH_3	H		0	m.p.: 160°C (racemate)
IV-10	C_2H_5	H		0	m.p.: 102°C (racemate)

Table 3 (continued)

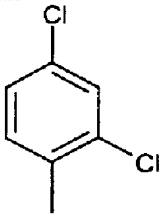
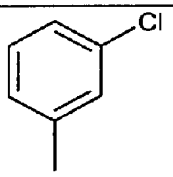
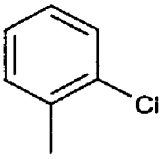
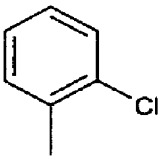
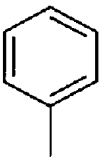
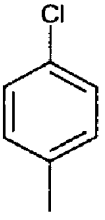
Ex. No.	R^3	R^4	Ar	n	Physical data and stereochem. specifications
IV-11	C_2H_5	H		0	m.p.: 126°C (racemate)
IV-12	C_2H_5	H		0	m.p.: 87°C (racemate)
IV-13	C_2H_5	H		0	m.p.: 100°C (racemate)
IV-14	CH_3	H		0	m.p.: 145°C (racemate)
IV-15	CH_3	CH_3		0	m.p.: 106°C (racemate)
IV-16	C_2H_5	H		0	m.p.: 113°C (racemate)

Table 3 (continued)

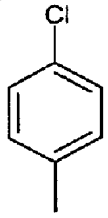
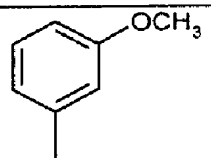
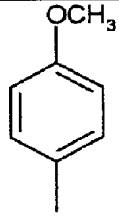
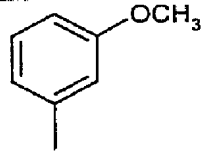
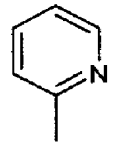
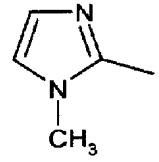
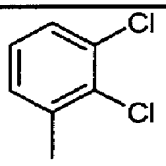
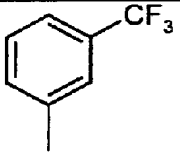
Ex. No.	R^3	R^4	Ar	n	Physical data and stereochem. specifications
IV-17	CH_3	H		0	m.p.: 135°C (racemate)
IV-18	C_2H_5	H		0	(oil) (racemate)
IV-19	C_2H_5	H		0	(oil) (racemate)
IV-20	CH_3	H		0	m.p.: 133°C (racemate)
IV-21	C_2H_5	H		0	m.p.: 180°C (racemate)
IV-22	C_2H_5	H		0	m.p.: 163°C (racemate)

Table 3 (continued)

Ex. No.	R^3	R^4	Ar	n	Physical data and stereochem. specifications
IV-23	C_2H_5	H		0	m.p.: 133°C (racemate)
IV-24	C_2H_5	H		0	(oil) (racemate)

Use Examples:

Example A

Pre-emergence test

Solvent: 5 parts by weight of acetone
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

Seeds of the test plants are sown in normal soil. After about 24 hours, the soil is sprayed with the preparation of active compound such that the particular amounts of active compound desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

After three weeks, the degree of damage to the plants is rated in % damage in comparison to the development of the untreated control.

The figures denote:

0 % = no effect (like untreated control)
100 % = total destruction

In this test, for example, the compounds of Preparation Example 4, 10, 17, 18, 19, 20, 21, 23, 24, 29, 31, 32, 33, 45, 46, 47, 54, 56, 60, 65, 67 and 84 exhibit strong activity against weeds, and some of them are tolerated well by crop plants, such as, for example, maize, wheat and barley.

Table A-1: Pre-emergence test / greenhouse

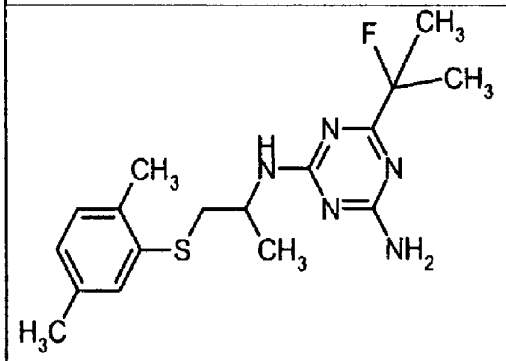
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Barley	Wheat	Matricaria	Solanum	Veronica	Viola
 (31)	500	0	0	100	100	100	100

Table A-2: Pre-emergence test / greenhouse

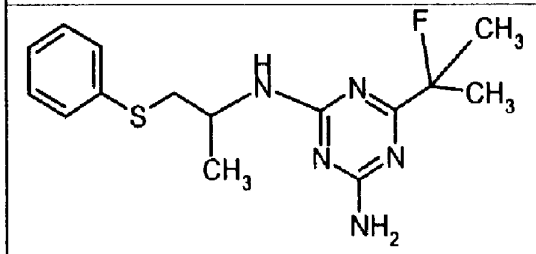
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Wheat	Maize	Cotton	Digitaria	Chenopodium	Veronica
 (84)	250	0	0	0	100	100	100

Table A-3: Pre-emergence test / greenhouse

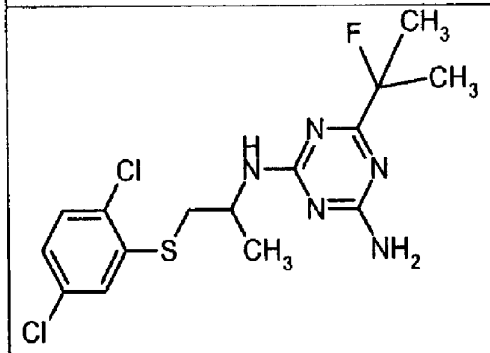
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Barley	Wheat	Chenopodium	Solanum	Veronica	Viola
 (33)	500	0	0	95	100	100	100

Table A-4: Pre-emergence test / greenhouse

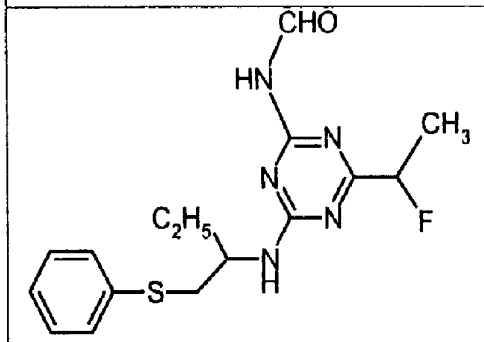
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (20)	1000	20	100	100	80	100	100

Table A-5: Pre-emergence test / greenhouse

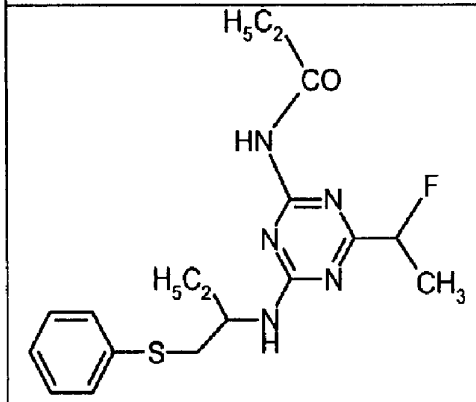
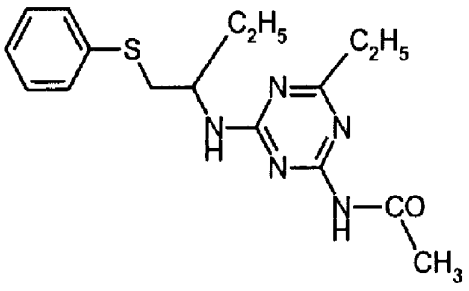
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (4)	1000	10	100	100	80	100	-
 (21)	1000	0	100	100	95	100	-

Table A-6: Pre-emergence test / greenhouse

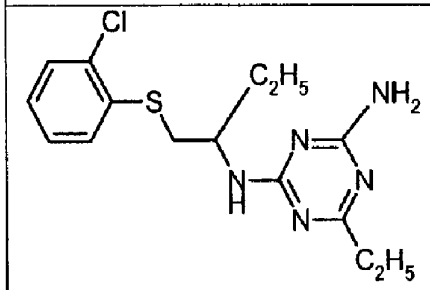
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (56)	1000	0	100	60	95	100	-

Table A-7: Pre-emergence test / greenhouse

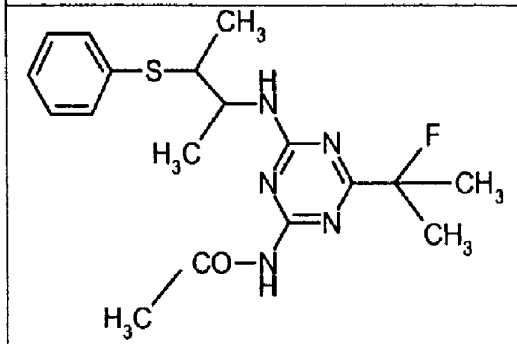
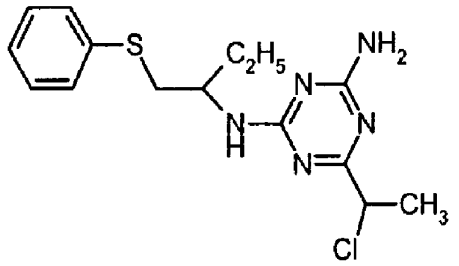
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Abutilon	Amaranthus
 (23)	1000	0	95	100
 (29)	1000	10	90	95

Table A-8: Pre-emergence test / greenhouse

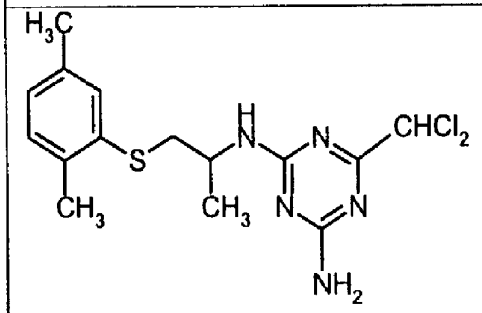
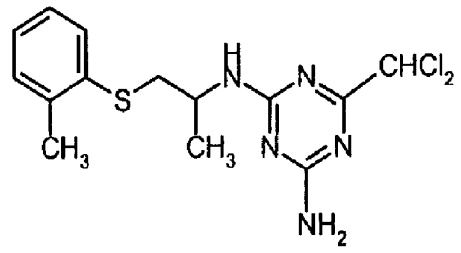
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Alopecurus	Abutilon	Amaranthus	Galium
 (60)	1000	20	80	-	90	100
 (65)	1000	0	100	80	95	-

Table A-9: Pre-emergence test / greenhouse

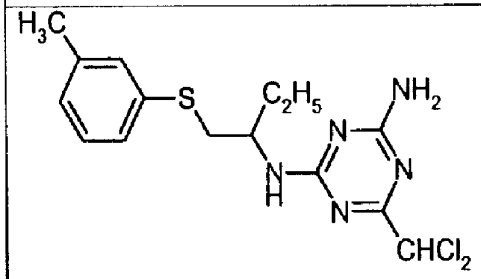
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Alopecurus	Abutilon	Amaranthus	Galium
 (67)	1000	0	100	-	100	-

Table A-10: Pre-emergence test / greenhouse

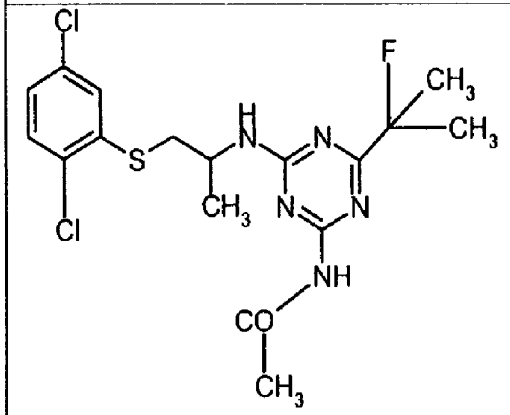
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (17)	1000	100	90	100	100	90

Table A-11: Pre-emergence test / greenhouse

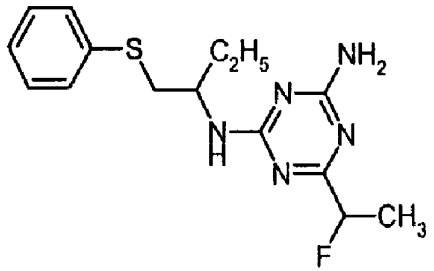
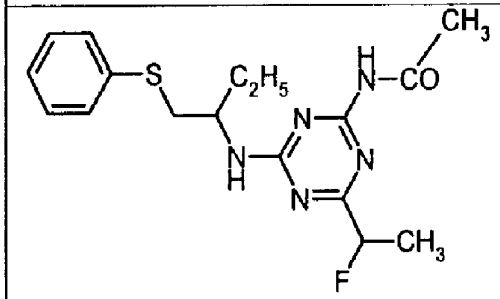
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (18)	1000	100	95	100	-	90
 (19)	1000	100	100	100	100	100

Table A-12: Pre-emergence test / greenhouse

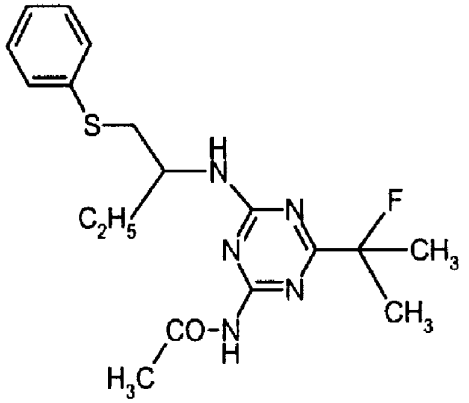
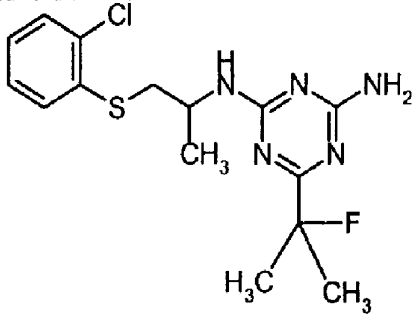
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (24)	1000	100	100	100	100	100
 (45)	1000	100	100	100	100	95

Table A-13: Pre-emergence test / greenhouse

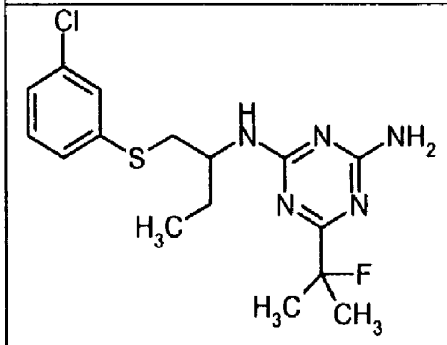
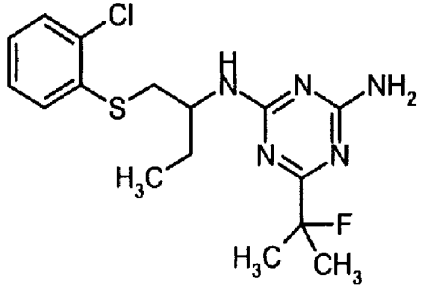
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (46)	1000	100	95	100	100	100
 (47)	1000	100	95	100	-	95

Table A-14: Pre-emergence test / greenhouse

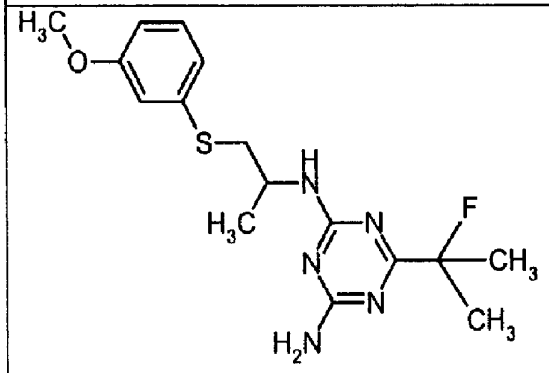
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (54)	1000	80	90	100	95	95

Table A-15: Pre-emergence test / greenhouse

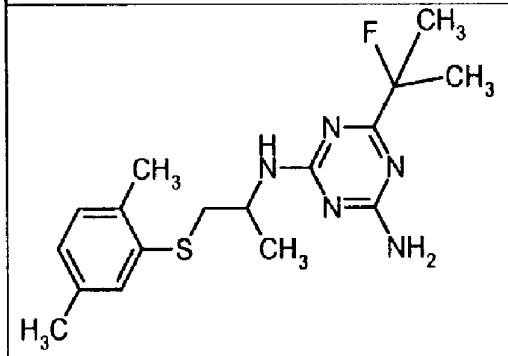
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Xanthium
 (31)	1000	100	80	95	80

Table A-16: Pre-emergence test / greenhouse

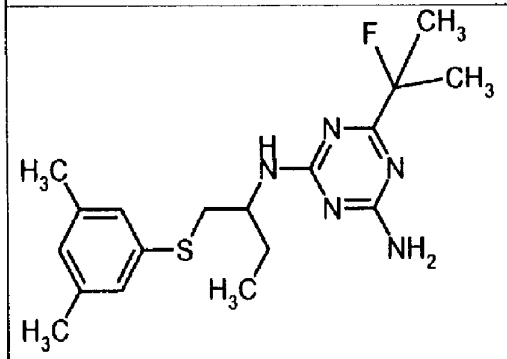
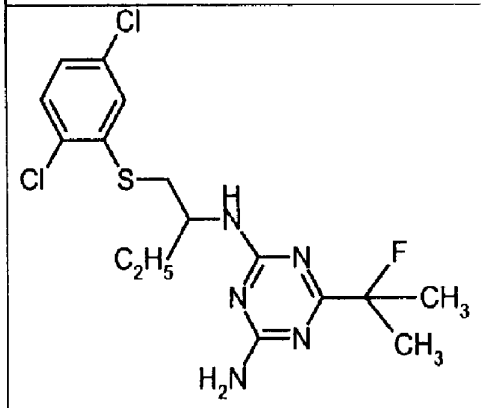
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Xanthium
 (32)	1000	100	100	100	80

Table A-17: Pre-emergence test / greenhouse

Active compound of Preparation Example No.	Application rate (g of ai./ha)	Alopecurus	Amaranthus	Galium
 (10)	1000	90	90	100

Example B

Post-emergence test

Solvent: 5 parts by weight of acetone
Emulsifier: 1 part by weight of alkylaryl polyglycol ether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent, the stated amount of emulsifier is added and the concentrate is diluted with water to the desired concentration.

Test plants which have a height of 5-15 cm are sprayed with the preparation of active compound such that the particular amounts of active compound desired are applied per unit area. The concentration of the spray liquor is chosen so that the particular amounts of active compound desired are applied in 1000 l of water/ha.

After three weeks, the degree of damage to the plants is rated in % damage in comparison to the development of the untreated control.

The figures denote:

0 % = no effect (like untreated control)
100 % = total destruction

In this test, for example, the compounds of Preparation Example 2, 4, 6, 8, 9, 10, 11, 12, 13, 24, 31, 32, 33, 34, 36, 38, 39, 40, 41, 42, 45, 46, 47, 51, 56, 67 and 84 exhibit strong activity against weeds, and some are tolerated well by crop plants, such as, for example, maize, wheat, barley, oilseed rape and sugar beet.

Table B-1: Post-emergence test / greenhouse

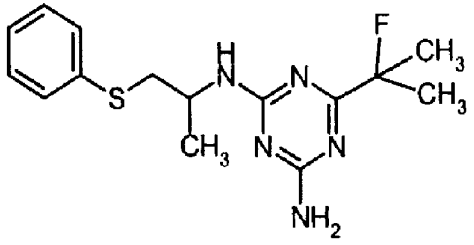
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Wheat	Oilseed rape	Amaranthus	Datura	Polygonum	Veronica
 (84)	250	10	10	95	95	95	95

Table B-2: Post-emergence test / greenhouse

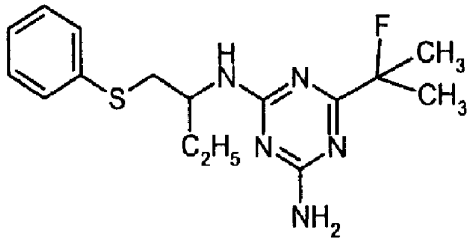
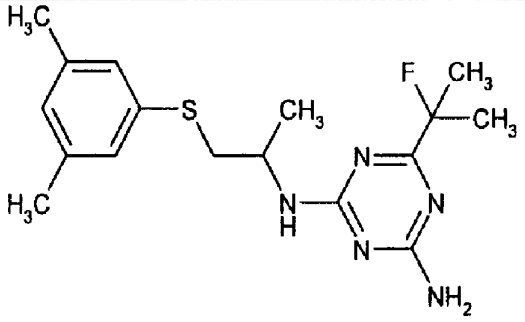
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Barley	Wheat	Amaranthus	Datura	Solanum	Veronica	Viola
 (6)	500	0	0	80	95	95	95	95
 (8)	500	-	10	100	95	95	95	100

Table B-3: Post-emergence test / greenhouse

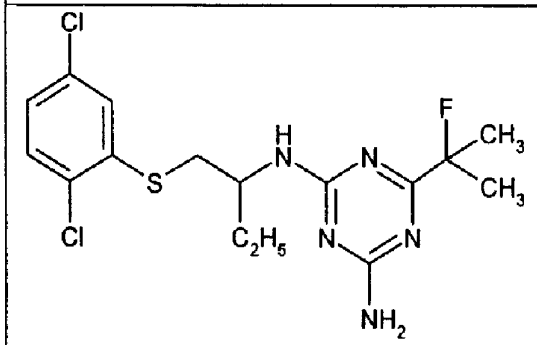
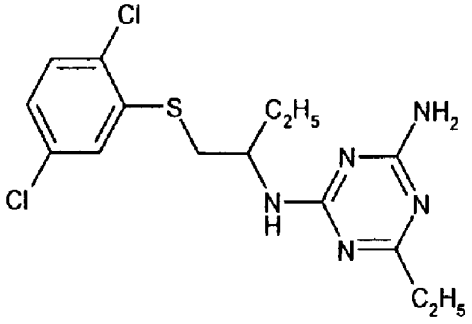
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Barley	Wheat	Amaranthus	Datura	Solanum	Veronica	Viola
 (10)	500	0	10	100	90	100	100	100
 (2)	500	10	10	100	95	100	100	100

Table B-4: Post-emergence test / greenhouse

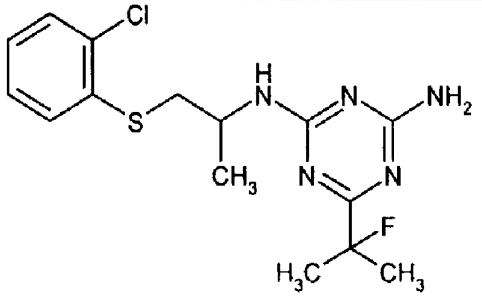
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Barley	Echinochloa	Datura	Matricaria	Solanum	Viola
 (45)	500	20	90	100	100	100	95

Table B-5: Post-emergence test / greenhouse

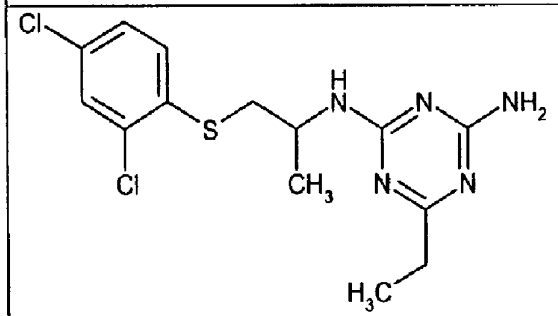
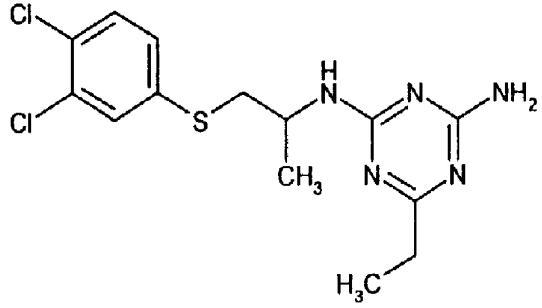
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Sugar beet	Setaria	Amaranthus	Sinapis
 (41)	1000	0	95	100	100
 (42)	1000	0	-	90	100

Table B-6: Post-emergence test / greenhouse

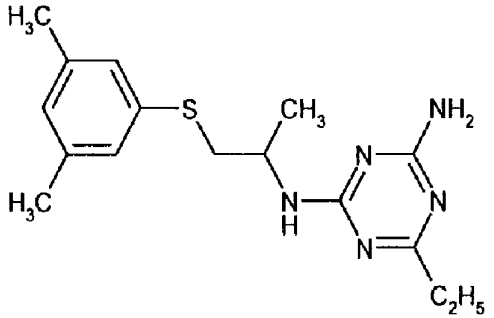
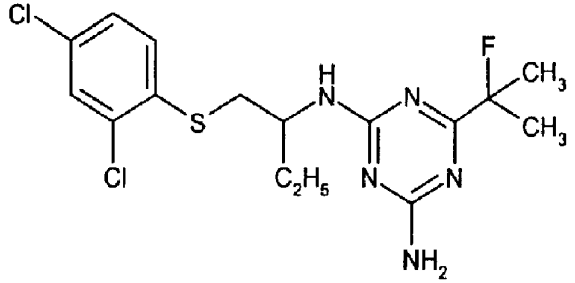
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Abutilon	Amaranthus	Galium	Sinapis
 (9)	1000	0	95	95	90	-
 (11)	1000	10	-	100	90	100

Table B-7: Post-emergence test / greenhouse

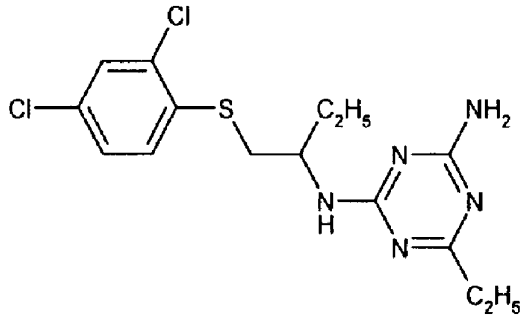
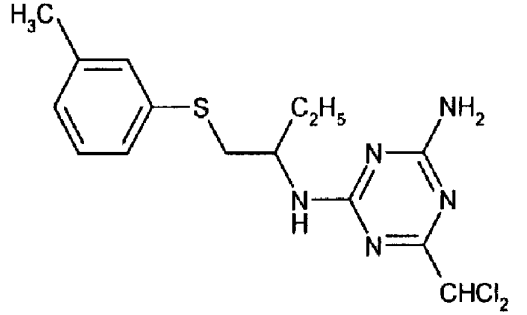
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Abutilon	Amaranthus	Xanthium
 (12)	1000	0	80	100	80
 (67)	100	0	100	100	-

Table B-8: Post-emergence test / greenhouse

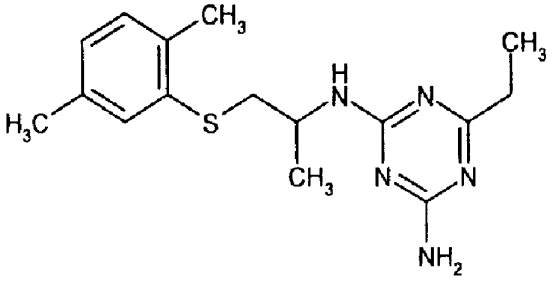
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Maize	Setaria	Abutilon	Amaranthus	Galium
 (38)	1000	20	90	80	100	90

Table B-9: Post-emergence test / greenhouse

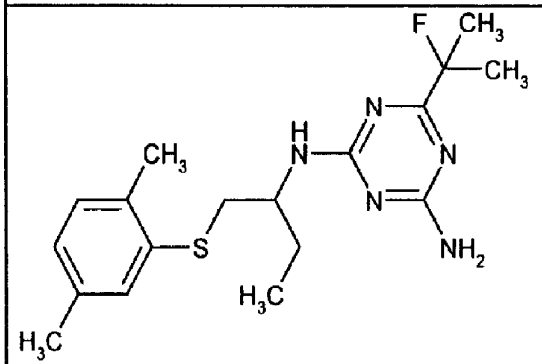
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Avena fatua	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (34)	1000	80	80	100	100	80	100

Table B-10: Post-emergence test / greenhouse

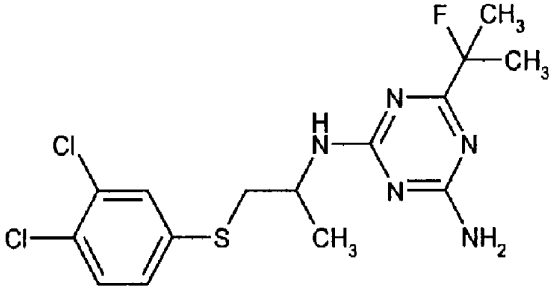
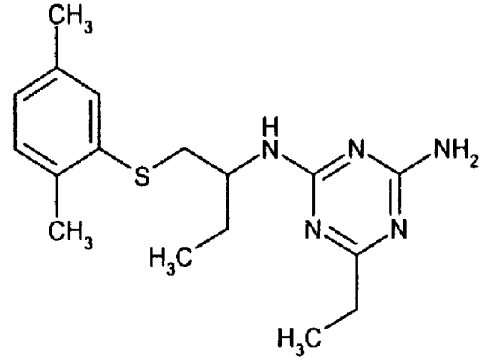
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Amaranthus	Galium	Sinapis
 (36)	1000	80	100	80	-
 (39)	1000	80	95	80	100

Table B-11: Post-emergence test / greenhouse

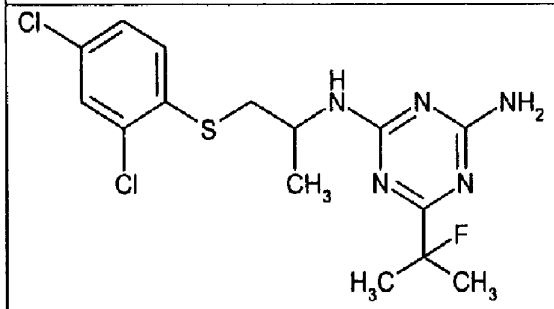
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Amaranthus	Galium	Sinapis
 (40)	1000	-	100	80	95

Table B-12: Post-emergence test / greenhouse

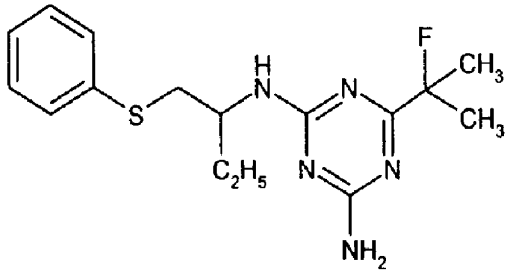
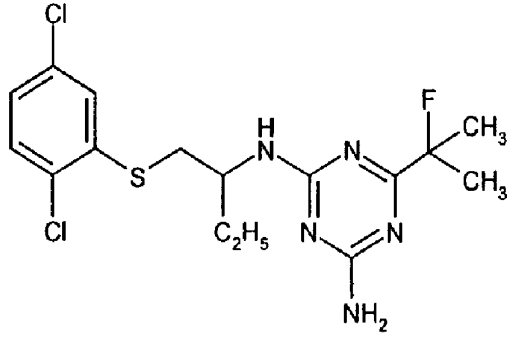
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis	Xanthium
 (6)	1000	-	95	80	80	100	100
 (10)	1000	100	95	100	95	100	80

Table B-13: Post-emergence test / greenhouse

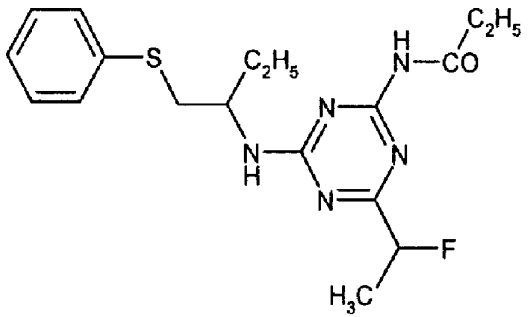
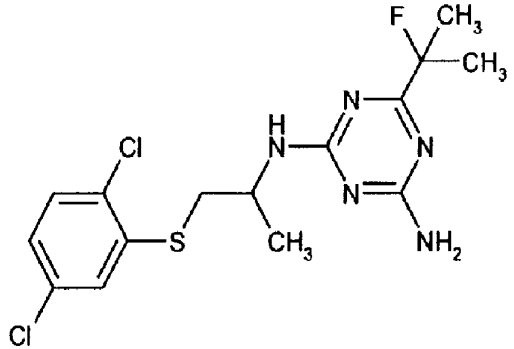
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis	Xanthium
 (4)	1000	80	95	95	80	100	90
 (33)	1000	100	100	100	80	100	80

Table B-14: Post-emergence test / greenhouse

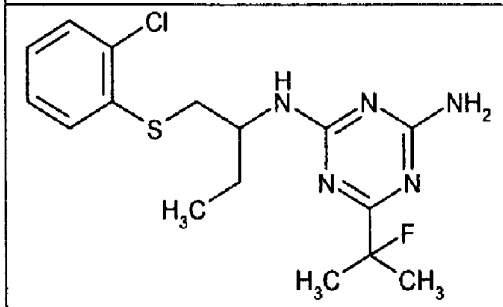
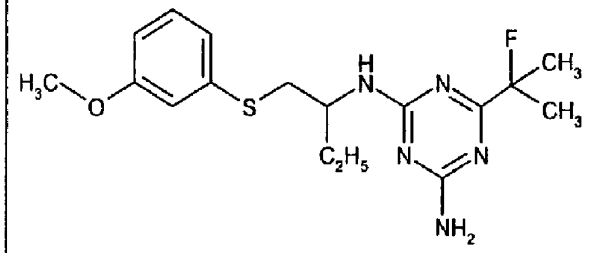
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis	Xanthium
 (47)	1000	80	95	100	80	100	90
 (51)	1000	80	95	90	80	70	70

Table B-15: Post-emergence test / greenhouse

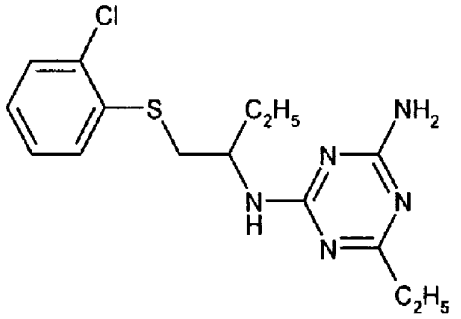
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis	Xanthium
 (56)	1000	70	80	95	80	70	100

Table B-16: Post-emergence test / greenhouse

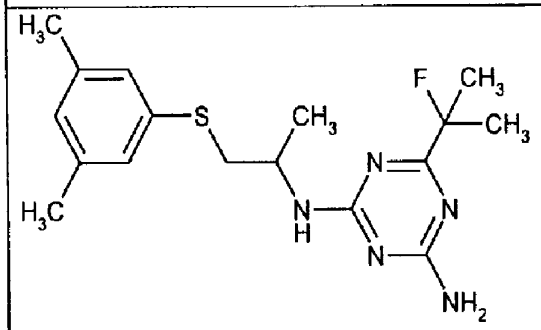
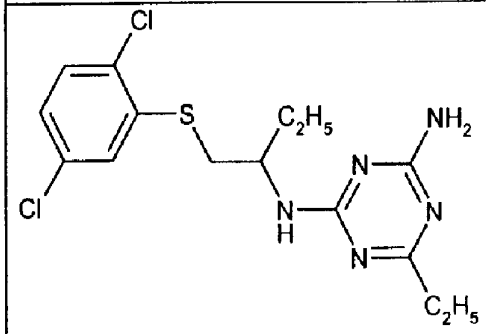
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (8)	1000	80	100	95	95	70
 (2)	1000	80	95	100	-	100

Table B-17: Post-emergence test / greenhouse

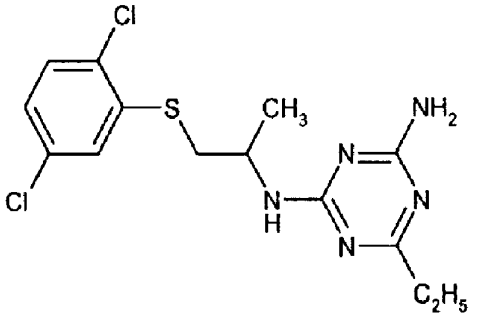
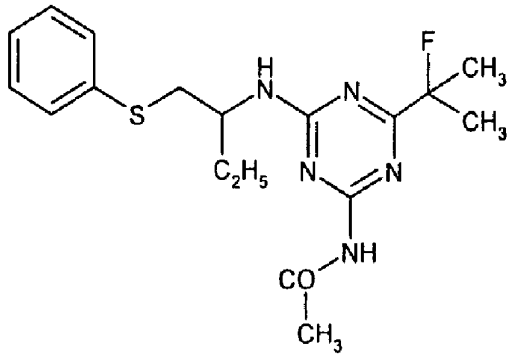
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (13)	1000	95	80	95	-	90
 (24)	1000	80	80	80	90	100

Table B-18: Post-emergence test / greenhouse

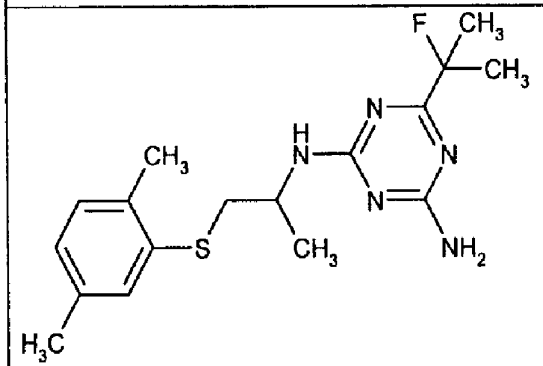
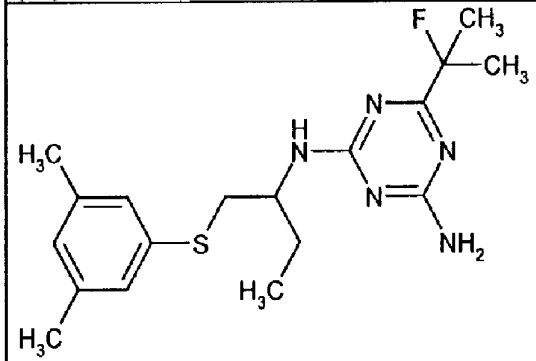
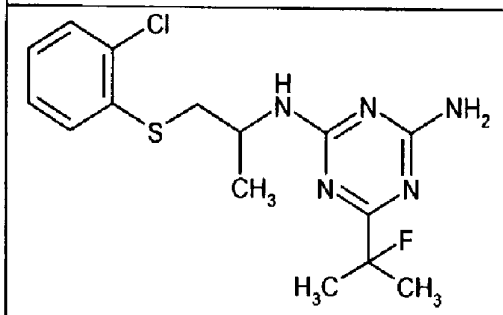
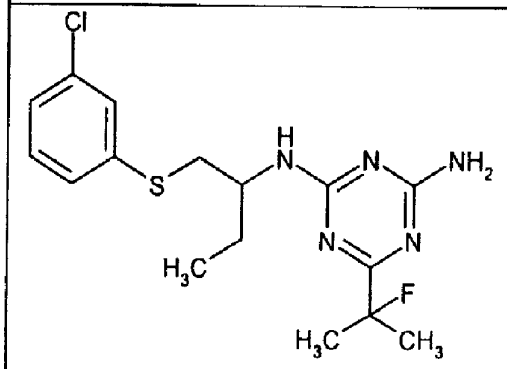
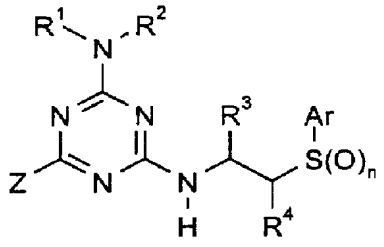
Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (31)	1000	90	100	100	90	100
 (32)	1000	80	90	95	70	95

Table B-19: Post-emergence test / greenhouse

Active compound of Preparation Example No.	Application rate (g of ai./ha)	Setaria	Abutilon	Amaranthus	Galium	Sinapis
 (45)	1000	80	95	100	80	100
 (46)	1000	-	90	100	90	90

Patent Claims

1. Substituted 2,4-diamino-1,3,5-triazines of the general formula (I),



(I),

in which

n represents the numbers 0, 1 or 2,

R^1 represents hydrogen or represents optionally hydroxyl-, cyano-, halogen- or C_1-C_4-alkoxy-substituted alkyl having 1 to 6 carbon atoms,

R^2 represents hydrogen, represents formyl or represents in each case optionally cyano-, halogen- or C_1-C_4-alkoxy-substituted alkyl, alkylcarbonyl or alkoxycarbonyl having in each case 1 to 6 carbon atoms in the alkyl groups,

R^3 represents hydrogen or represents optionally hydroxyl-, cyano-, halogen- or C_1-C_4-alkoxy-substituted alkyl having 1 to 6 carbon atoms or represents optionally cyano-, halogen- or C_1-C_4-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms,

R^4 represents hydrogen or represents alkyl having 1 to 4 carbon atoms,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl groupings are preferably selected from the group below:
furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, thiadiazolyl, oxadiazolyl, pyrazolyl, imidazolyl, tetrazolyl, pyridinyl, quinolinyl and pyrimidinyl,
and where the possible substituents are in each case preferably selected from the group below:
hydroxyl, cyano, carbamoyl, thiocarbamoyl, nitro, halogen, in each case optionally hydroxyl-, cyano- or halogen-substituted alkyl or alkoxy having in each case 1 to 6 carbon atoms, in each case optionally halogen-substituted alkylcarbonyl, alkoxycarbonyl, alkylthio, alkylsulphinyl, alkylsulphonyl, dialkylamino, alkylcarbonylamino, alkylsulphonylamino, bis-alkylcarbonyl-amino, bis-alkylsulphonyl-amino, N-alkyl-N-alkylcarbonyl-amino or N-alkyl-N-alkylsulphonyl-amino having in each case 1 to 6 carbon atoms in the alkyl groups, in each case optionally hydroxyl-, cyano-, nitro-, halogen-, C_1-C_4-alkyl-, C_1-C_4-halogenoalkyl-, C_1-C_4-alkoxy- or C_1-C_4-halogenoalkoxy-substituted phenyl or phenoxy, and in each case optionally halogen-substituted methylenedioxy or ethylenedioxy,

and

Z represents hydrogen, represents in each case optionally hydroxyl-, cyano-, halogen-, C_1-C_4-alkoxy-, C_1-C_4-alkyl-carbonyl-, C_1-C_4-alkoxy-carbonyl-, C_1-C_4-alkylthio-, C_1-C_4-alkylsulphinyl- or C_1-C_4-alkylsulphonyl-substituted alkyl, alkylcarbonyl or alkoxycarbonyl having in each case 1 to 6 carbon atoms in the alkyl groups, represents in each case optionally cyano-, halogen- or C_1-C_4-alkoxy-substituted

alkenyl or alkinyl having in each case 2 to 6 carbon atoms, or represents optionally cyano-, halogen- or C_1-C_4-alkyl-substituted cycloalkyl having 3 to 6 carbon atoms.

2. Compounds of the formula (I) according to Claim 1, characterized in that

n represents the numbers 0, 1 or 2,

R^1 represents hydrogen or represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl,

R^2 represents hydrogen, represents formyl or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

R^3 represents hydrogen or represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl,

R^4 represents hydrogen, methyl or ethyl,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl groupings are selected from the group below:

furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, pyrazolyl, imidazolyl, tetrazolyl, pyridinyl, quinolinyl and pyrimidinyl,

and where the possible substituents are in each case selected from the group below:

hydroxyl, cyano, carbamoyl, thiocarbamoyl, nitro, fluorine, chlorine, bromine, in each case optionally hydroxyl-, cyano-, fluorine-, chlorine- or bromine-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, methoxy, ethoxy, n- or i-propoxy, in each case optionally fluorine- and/or chlorine-substituted acetyl, propionyl, n-or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, methylthio, ethylthio, n- or i-propylthio, methylsulphinyl, ethylsulphinyl, n- or i-propylsulphinyl, methylsulphonyl, ethylsulphonyl, n- or i-propylsulphonyl, dimethylamino, diethylamino, acetylamino, propionylamino, n- or i-butyroylamino, methylsulphonylamino, ethylsulphonylamino, n- or i-propylsulphonylamino, bis-acetyl-amino, bis-propionyl-amino, bis-methylsulphonyl-amino, bis-ethylsulphonyl-amino, N-methyl-N-acetyl-amino, N-ethyl-N-acetyl-amino, N-methyl-n-propionyl-amino, N-methyl-N-methylsulphonyl-amino, N-ethyl-N-methylsulphonyl-amino or N-methyl-N-ethylsulphonyl-amino, in each case optionally hydroxyl-, cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, n-, i-, s- or t-butyl-, trifluoromethyl, methoxy-, ethoxy-, n- or i-propoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and in each case optionally fluorine- and/or chlorine-substituted methylenedioxy or ethylenedioxy,

and

Z represents hydrogen, represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, bromine-, methoxy-, ethoxy-, n- or i-

propoxy-, acetyl-, propionyl-, n- or i-butyroyl-, methoxycarbonyl-, ethoxycarbonyl-, n- or i-propoxy-carbonyl-, methylthio-, ethylthio-, n- or i-propylthio-, methylsulphinyl-, ethylsulphinyl-, n- or i-propylsulphinyl-, methylsulphonyl-, ethylsulphonyl-, n- or i-propylsulphonyl-substituted methyl, ethyl, n- or i-propyl, n-, i-, s- or t-butyl, acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl, represents in each case optionally cyano-, fluorine-, chlorine-, bromine-, methoxy- or ethoxy-substituted ethenyl, propenyl, butenyl, ethinyl, propinyl or butinyl, or represents in each case optionally cyano-, fluorine-, chlorine-, methyl- or ethyl-substituted cyclopropyl, cyclobutyl, cyclopentyl or cyclohexyl.

3. Compounds of the formula (I) according to Claim 1, characterized in that

n represents the numbers 0, 1 or 2,

R^1 represents hydrogen,

R^2 represents hydrogen, represents formyl or represents in each case optionally cyano-, fluorine-, chlorine-, methoxy- or ethoxy-substituted acetyl, propionyl, n- or i-butyroyl, methoxycarbonyl, ethoxycarbonyl, n- or i-propoxycarbonyl,

R^3 represents methyl, ethyl, n- or i-propyl,

R^4 represents hydrogen,

Ar represents in each case optionally substituted phenyl, naphthyl or heterocyclyl,

where the possible heterocyclyl groupings are selected from the groups below:

furyl, benzofuryl, thienyl, benzothienyl, thiazolyl, benzothiazolyl, oxazolyl, benzoxazolyl, tetrazolyl, pyridinyl, quinolinyl and pyrimidinyl,

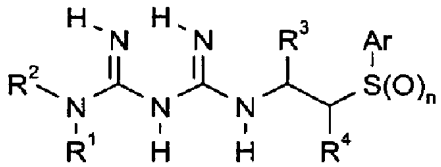
and where the possible substituents are in each case selected from the group below:

cyano, carbamoyl, thiocarbamoyl, nitro, fluorine, chlorine, bromine, in each case optionally cyano-, fluorine- or chlorine-substituted methyl, ethyl, n- or i-propyl, methoxy, ethoxy, in each case optionally fluorine- and/or chlorine-substituted acetyl, propionyl, methoxycarbonyl, ethoxycarbonyl, methylthio, ethylthio, methylsulphinyl, ethylsulphinyl, methylsulphonyl, ethylsulphonyl, dimethylamino, acetylamino, propionylamino, methylsulphonylamino or ethylsulphonylamino, in each case optionally cyano-, nitro-, fluorine-, chlorine-, bromine-, methyl-, ethyl-, n- or i-propyl-, trifluoromethyl-, methoxy-, ethoxy-, difluoromethoxy- or trifluoromethoxy-substituted phenyl or phenoxy, and in each case optionally fluorine- and/or chlorine-substituted methylenedioxy or ethylenedioxy,

and

Z represents in each case optionally hydroxyl-, cyano-, fluorine-, chlorine-, bromine-, methoxy-, ethoxy-, acetyl-, propionyl-, methoxycarbonyl-, ethoxycarbonyl-, methylthio-, ethylthio-, methylsulphinyl-, ethylsulphinyl-, methylsulphonyl- or ethylsulphonyl-substituted methyl, ethyl, n- or i-propyl.

4. Process for preparing compounds of the formula (I) according to Claim 1, characterized in that biguanides of the general formula (II)



(II)

in which

n, R^1, R^2, R^3, R^4 and Ar are as defined in Claim 1

- and/or acid adducts of compounds of the general formula (II) -

are reacted with alkoxycarbonyl compounds of the general formula (III)

Z-CO-OR' (III)

in which

Z is as defined in Claim 1 and

R' represents alkyl,

if appropriate in the presence of a reaction auxiliary and if appropriate in the presence of a diluent,

and, if appropriate, further conversions within the scope of the definition of substituents are carried out by customary methods on the resulting compounds of the general formula (I).

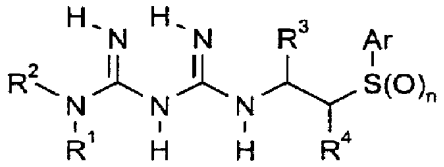
5. Herbicidal compositions, characterized in that they comprise at least one compound of the formula (I) according to Claim 1.

6. Use of compounds of the formula (I) according to Claim 1 for controlling undesirable vegetation.

7. Method for controlling weeds, characterized in that compounds of the formula (I) according to Claim 1 are allowed to act on the weeds or their habitat.

8. Process for preparing herbicidal compositions, characterized in that compounds of the formula (I) according to Claim 1 are mixed with extenders and/or surfactants.

9. Biguanides of the general formula (II)



(II)

in which

n, R^1, R^2, R^3, R^4 and Ar are as defined in Claim 1,

and acid adducts of compounds of the general formula (II).

Fetherstonhaugh & Co.
Ottawa, Canada
Patent Agents